3'-OR 2'-HYDROXYMETHYL SUBSTITUTED NUCLEOSIDE DERIVATIVES FOR TREATMENT OF HEPATITIS VIRUS INFECTIONS

CROSS-REFERENCE TO RELATED APPLICATIONS AND CLAIM FOR BENEFIT

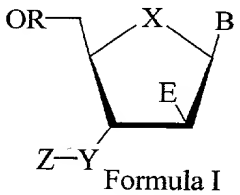
This application claims priority to U.S. provisional patent application serial number 60/197,068, filed on April 13, 2000, and U.S. provisional patent application serial number 60/202,663, filed on May 8, 2000.

FIELD OF THE INVENTION

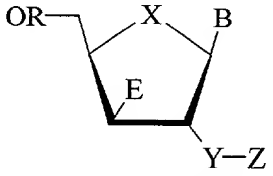
The present invention relates to a process for preparing a 3'- or 2'-hydroxymethyl substituted nucleoside derivative, and a composition for and a method of treating a hepatitis virus infection or a proliferative disorder using a 3'- or 2'-hydroxymethyl substituted nucleoside derivative. More particularly, the present invention is directed to a composition for and method of treating hepatitis B, C, or D virus or a proliferative disorder such as cancer.

BACKGROUND OF THE INVENTION

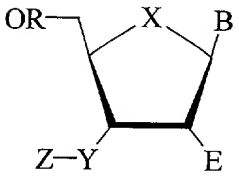
This invention relates to a process for preparing a 3'- or 2'-hydroxymethyl substituted nucleoside derivative, compounds and pharmaceutical compositions for, and a method of treating hepatitis virus infections and/or proliferative disorders in patients using 3'-hydroxymethyl and 2'-hydroxymethyl substituted nucleosides and structurally related nucleosides of general formulas **[I]** - **[IV]** and their L-nucleoside counterparts.



Formula I



Formula III



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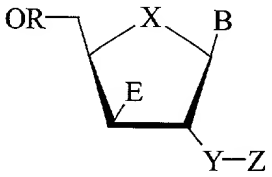


Formula IV

wherein the substituents are as defined herein.

Hepatitis B virus (HBV) infection is the most prevalent form of hepatitis and is the second most common infectious disease worldwide. Approximately 5% of the world's

population is chronically infected with HBV. The virus is transmitted through blood transfusions, contaminated needles, sexual contact and transmission from mother to child. Moreover, a significant number of people are infected by unknown means.

Carriers of the hepatitis B virus can exhibit various forms of disease, one of which is chronic hepatitis B. Approximately 50% of the carriers show chronic inflammatory changes in the liver and, of these, about 50% have histopathologic changes, which are termed "chronic active hepatitis," which may lead to fibrosis and ultimately to cirrhosis and progressive liver failure. Carriers without chronic inflammatory changes may also develop chronic active hepatitis, while liver cancer develops in about 10 to 30% of hepatitis B carriers. It has been estimated that approximately 4 million carriers of hepatitis B virus die each year from liver cancer or cirrhosis.

HBV, also known as the Dane particle, is a member of the *Hepadonaviridae* and is a 42 nm complex spherical particle composed of an outer lipoprotein coat (hepatitis B surface antigen HBsAg) and an inner core (hepatitis B core antigen, HBcAg). (Ganem, D., Fundamental Virology, 3rd Ed., Lippincott-Raven Pub., Philadelphia, 1996, p. 1199) This core contains partially double stranded DNA of 3.2 kb maintained as a circular structure by 5' cohesive ends. (Chu, C.K., Therapies for Viral Hepatitis, Schinazi, et al., Eds., International Medical Press, 1998) The viral minus strand is full length, while the plus strand is less than full length. The 5' ends of both strands contain short (11 nucleotide) direct repeats. These repeats are involved in priming the synthesis of their respective strands. Remarkably, viral DNA is synthesized in a reverse transcription of an RNA template. (Mason, W.S., Adv. Virus. Res., 1987, 32, 35) Following viral infection, the viral replication cycle begins with translocation of nucleocapsids from the cytoplasm to the nucleus. (Eckart, S.G., J. Virol., 1991, 65, 575) The partially duplex genomic DNA is converted to fully duplex covalently closed supercoiled DNA, which persists as an episomal minichromosome and functions as a reservoir of the viral genome. This feature provides a difficult challenge to therapeutic attack on the virus.

The episomal DNA is transcribed by the host RNA polymerase II and viral proteins are translated from the transcription products. Among the transcripts are full length pregenomic RNAs which are encapsulated by the viral structural proteins together with the viral polymerase. Synthesis of both viral DNA strands by the viral polymerase occurs within these structures. The polymerase contains both a reverse transcriptase and an RNAse H

domain. Minus strand synthesis proceeds in two distinct steps (Zolim, F., J. Virol., 1994, 68, 3536). In the first, the polymerase binds to the direct repeat at the 5' end of the pregenomic RNA and serves as a covalent primer for the synthesis of a 4 nucleotide element. The priming hydroxyl group is the side chain of a *tyrosine* residue on the polymerase and is linked to a dGMP residue. A sequence in the bulge of a stem loop structure in the RNA template serves as the template for this step. This reaction is particular to the virus and is not mimicked in any cellular DNA synthesis reaction. Agents which target this step would have the potential for a high degree of specificity. Following this step the polymerase-nucleotide complex translocates to the other end of the RNA template and complete reverse transcription of the strand takes place. (It has been proposed that there may be proteolytic cleavage of polymerase and RNAse domains away from the portion of the polymerase bound to the tetranucleotide primer. (Bartenschlager, R., EMBO J. 1988, 7, 4185). First strand synthesis is accompanied by the degradation of the RNA in the RNA:DNA hybrid by the RNAse H of the polymerase. Synthesis of the second strand, also mediated by the viral polymerase, is generally incomplete, giving rise to the partially duplex DNA found in the virion.

It is now clear that there are three separate phases in replication and that the first reverse transcription step is of particular interest for therapeutic intervention. It should be noted that an agent that inhibits the reverse transcriptase of other retroviruses does not necessarily have activity against HBV. For example, Zidovudine (or AZT) as its 5'-triphosphate is a potent inhibitor of reverse transcriptase of human immunodeficiency virus and has been widely used in the treatment of HIV-infected patients. However, this agent is inactive against HBV. On the other hand, certain 2'-fluoro-D-arabino nucleosides, such as Fiacitabine (FIAC) and Fialuridine (FIAU), are devoid of activity against HIV although they effectively inhibit replication of HBV.

Hepatitis C virus (HCV), the second major cause of viral hepatitis, is present in an estimated 170 million carriers worldwide, 3.9 million of whom reside in the United States. HCV is considered the most common blood-borne infection in the United States, where it is one of the leading causes for liver transplantation among adults. Most people infected with HCV do not exhibit any acute signs or symptoms of hepatitis. In fact, unless they have a blood test, most people remain unaware that they are infected with HCV for the first 10-20 years.

Aside from direct blood contact, HCV is a very difficult agent to transmit. Maternal-to-fetal transmission is quite low, less than 6% of babies born to infected mothers will carry the virus. Additionally, unlike HBV and human immunodeficiency virus (HIV), evidence of direct sexual transmission of HCV is inconclusive.

HCV is a small, enveloped virus in the *Flaviviridae* family, with a positive single-stranded RNA genome of ~9.6 kb within the nucleocapsid. The genome contains a single open reading frame (ORF) encoding a polyprotein of just over 3,000 amino acids, which is cleaved to generate the mature structural and nonstructural viral proteins. ORF is flanked by 5' and 3' non-translated regions (NTRs) of a few hundred nucleotides in length, which are important for RNA translation and replication. The translated polyprotein contains the structural core (C) and envelope proteins (E1, E2, p7) at the N-terminus, followed by the nonstructural proteins (NS2, NS3, NS4A, NS4B, NS5A, NS5B). The mature structural proteins are generated via cleavage by the host signal peptidase. The junction between NS2 and NS3 is autocatalytically cleaved by the NS2/NS3 protease, while the remaining four junctions are cleaved by the N-terminal serine protease domain of NS3 complexed with NS4A. The NS3 protein also contains the NTP-dependent helicase activity which unwinds duplex RNA during replication. The NS5B protein possesses *RNA-dependent RNA polymerase* (RDRP) activity, which is essential for viral replication. It is emphasized here that, unlike HBV or HIV, no DNA is involved in the replication of HCV. Recently in *in vitro* experiments using NS5B, substrate specificity for HCV-RDRP was studied using guanosine 5'-monophosphate (GMP), 5'-diphosphate (GDP), 5'-triphosphate (GTP) and the 5'-triphosphate of 2'-deoxy and 2',3'-dideoxy guanosine (dGTP and ddGTP, respectively). The authors claimed that HCV-RDRP has a strict specificity for ribonucleoside 5'-triphosphates and requires the 2'- and 3'-OH groups. Their experiments suggest that the presence of 2'- and 3'-substituents would be the prerequisite for nucleoside 5'-triphosphates to interact with HCV-RDRP and to act as substrates or inhibitors. The present invention on the development of anti-HCV agents is based on this rationale.

Hepatitis D virus (HDV) is classified separately from other hepatitis viruses, but it is often found in association with hepatitis B virus. The host range of HDV is limited to those species that support the replication of a hepadnavirus capable of supplying a helper function. These include the chimpanzee (hepatitis B virus), the eastern woodchuck (woodchuck hepatitis virus) and possibly the Pekin duck (duck hepatitis virus). The successful replication

of HDV is dependent on the replication of the helper hepadnavirus. Inhibition of hepatitis B virus, therefore, should result in inhibition of HDV. Also, while HDV appears to employ the host RNA polymerase, it is not clear if the virus causes some modification of the polymerase enabling it to replicate the HDV genome more efficiently. Thus, nucleosides that inhibit the HBV polymerase or the modified host RNA polymerase would be expected to inhibit the replication of HDV.

The synthesis of some related compounds has been disclosed in the literature (Acton, E. M., et al., *J. Med. Chem.*, **1979**; *22*:518; Fiandor, J., et al., *Nucleosides Nucleotides*, **1989**; *8*:1107; Bamford, M. J., et al., *J. Med. Chem.*, **1990**; *23*:2494; Svansson, L., et al., *J. Org. Chem.*, **1991**; *56*:2993; Sterzycki, R. Z., et al., *Nucleosides Nucleotides*, **1991**; *10*:291; Svansson, L., et al., *Nucleosides Nucleotides*, **1992**; *11*:1353; Kvarnstrom, I., et al., *Nucleosides Nucleotides*, **1992**; *11*:1367; Tseng, C. K-H., et al., *J. Med. Chem.*, **1991**; *34*: 343; Lin, T-S., et al., *J. Med. Chem.*, **1993**; *36*:353; Wengel, J., et al., *Bioorg. Med. Chem.*, **1995**; *3*:1223; Lee-Ruff, E., et al., *J. Med. Chem.*, **1996**; *39*:5276; Jorgensen, P. N., et al., *Nucleosides Nucleotides*, **1997**; *16*:1063; Jeong, L. S., et al., *Nucleosides Nucleotides*, **1997**; *16*:1059;). Moreover, these references also disclose anti-viral test results of some of these compounds against herpes virus (HSV) or human immunodeficiency virus (HIV). Only 2',3'-dideoxy-3'-hydroxymethyl-cytidine and adenosine show good activity against HIV and 2',3'-dideoxy-3'-hydroxymethyl-cytidine (Sterzycki, R. Z., et al., *Nucleosides Nucleotides*, **1991**, *10*, 291) and 5-bromovinyl-1-(3-deoxy-3-hydroxymethyl-D-arabinofuranosyl)-uracil (Svansson, L., et al.., *J. Org. Chem.*, **1991**, *56*, 2993) are active against HSV.

Although it would not have been expected that an anti-herpes virus agent or anti-HIV agent would also be effective in the treatment of hepatitis, the compounds of the present invention have surprisingly been found to be useful as anti-hepatitis agents. Some of these compounds are particularly favorable in the treatment of hepatitis because they unexpectedly cause less toxic side effects. For example, we discovered that 3'-deoxy-3'-hydroxymethylthymidine, which, though devoid of activity against murine leukemia virus (MuLV), HIV, HSV-1, HSV-2, human cytomegalovirus (HCMV), Varicella zoster virus (VZV) and Epstein Barr virus (EBV)(Sterzycki, R. Z., et al., *Nucleosides Nucleotides*, **1991**, *10*, 291), is a potent agent against HBV.

It is therefore an object of the present invention to provide compounds and compositions useful for the treatment of hepatitis.

It is a further object of the present invention to provide a method for treating hepatitis using the compounds of the present invention.

It is another object of the present invention to provide compositions for treating hepatitis comprising the compounds of the present invention in combination with other anti-hepatitis agents.

It is another object of the present invention to provide a method for treating hepatitis using the compounds of the present invention in combination with other anti-hepatitis agents.

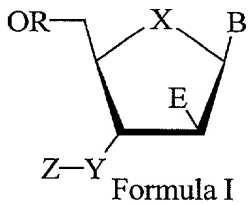
It is still another object of the present invention to provide compounds and compositions useful for the treatment of proliferative disorders.

It is still another object of the present invention to provide a method for treating proliferative disorders using the compounds of the present invention.

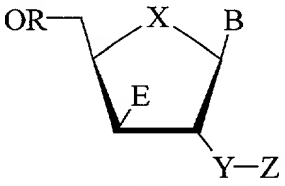
It is still another object of the present invention to provide a process for preparing 3'- or 2'-hydroxymethyl substituted nucleoside derivatives.

SUMMARY OF INVENTION

The present invention as disclosed herein relates to the compounds of general formulas **[I]** - **[IV]**, a composition for and a method of treating hepatitis B virus (HBV) in a subject using a nucleoside of general formula **[I]**, and hepatitis C virus (HCV) or hepatitis D virus (HDV) infection using a nucleoside of general formulas **[I - IV]**:



Formula I



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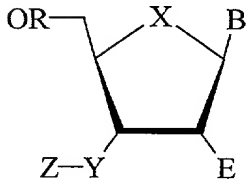

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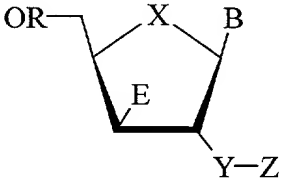


Formula IV

wherein:

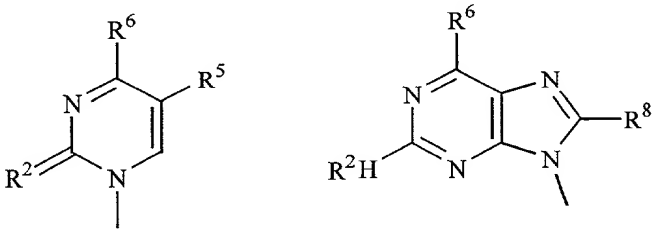
E is selected from the group consisting of H, OH, OMe, SH, SMe, NH_2, NHMe, N_3, F, Cl, Br, CO_2H, CO_2-alkyl, OPh, $OPhNO_2$, NO, NO_2, SCN, OCN, NCS, NCO, SOMe, SO_2Me;

X is selected from the group consisting of O, S, NH, CH_2, CHF, CF_2;

Y is selected from the group consisting of CH_2, NH, NOH, NMe, NEt, NOMe, CHF, CF_2;

Z is selected from the group consisting of H, OH, Ome, SH, SMe, F, Cl, Br, I, NH_2, NHMe;

B is a base selected from the group consisting of

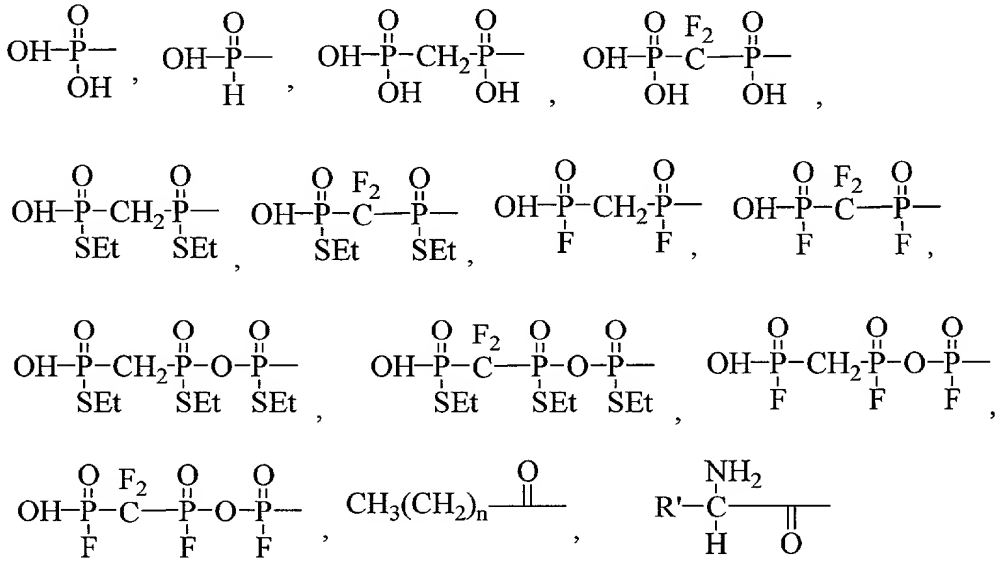


R^2 is selected from the group consisting of O, S, NH, NR;

R^5 is selected from the group consisting of H, branched or unbranched lower alkyl having 1-5 carbon atoms, F, Cl, Br, I, $CH=CH_2$, CH=CHBr, Ph, Ac, OMe, OPh, NO, NO_2, NH_2, NHR;

R^6 and R^8 are the same or different and are independently selected from the group consisting of H, OH, OMe, SH, SMe, F, Cl, Br, I, NH_2, NHMe, NMe_2;

R is independently selected from the group consisting of



Compounds according to the present invention may also be used to treat human immunodeficiency virus (HIV) infection and/or proliferative disorders as well as bacterial infections.

The present invention is also directed to a process for preparing 3'- or 2'-hydroxymethyl substituted nucleoside derivatives.

DETAILED DESCRIPTION

The following terms shall be used to describe the present invention:

The terms "patient" and "host organism" are used throughout the specification to describe an animal, preferably a human, to whom treatment, including prophylactic treatment, with the compounds and pharmaceutical compositions according to the present invention is provided. For treatment of those infections, conditions or disease states which are specific for a specific animal such as a human patient, the terms patient or host refer to that specific animal. In most applications of the present invention, the patient is a human. Veterinary applications, in certain indications, however, are clearly contemplated by the present invention.

The term "therapeutically effective amount" shall mean the administration of at least one compound according to the present invention in an amount or concentration and for period of time including acute, sub-acute or chronic administration, which is effective within the context of its administration for causing an intended effect or physiological outcome in the treatment of HIV, HBV, HCV, HDV, bacterial infection or proliferative disorders such as tumors/cancer. Effective amounts of compounds, according to the present invention, include amounts which are therapeutically effective for delaying the onset of, inhibiting or alleviating the effects of the above disease states. Although effective amounts of compounds, according to the present invention, generally fall within the dosage range of about 0.1 mg/patient kg to about 100 mg/patient kg or more, amounts outside of these ranges, in certain instances, may be used, depending upon the final use of the composition.

As used herein, the term "alkyl" is defined as any straight-chained or branched alkyl, including but not limited to methyl, ethyl, propyl, butyl, pentyl, hexyl, isopropyl, isobutyl, sec-butyl, t-butyl, isopentyl, amyl, and t-pentyl.

The term "acyl" as used herein is defined as the residue of an acid group, including but not limited to acetyl, formyl, propionyl, butyryl, pentanoyl, 3-methylbutyryl, hydrogen

succinate, 3-chlorobenzoate, cyclopentyl, cyclohexyl, benzoyl, acetyl, pivaloyl, mesylate, valeryl, caproic, caprylic, capric, lauric, myristic, palmitic, stearic, oleic, amino acids including but not limited to alanyl, valinyl, leucinyl, isoleucinyl, prolinyl, phenylalaninyl, tryptophanyl, methioninyl, glycinyl, serinyl, threoninyl, cysteinyl, tyrosinyl, asparaginyl, glutaminyl, aspartoyl, glutaoyl, lysinyl, argininyl, and histidinyl.

The term "tumor" or "neoplasia" is used to describe the pathological process that results in the formation and growth of a neoplasm, i.e., an abnormal tissue that grows by cellular proliferation more rapidly than normal tissue and continues to grow after the stimuli that initiated the new growth cease. Neoplasia exhibits partial or complete lack of structural organization and functional coordination with the normal tissue, and usually forms a distinct mass of tissue which may be benign (benign tumor) or malignant (carcinoma). The terms "proliferative disorder" and "cancer" are used as general terms to describe any of various types of malignant neoplasms, most of which invade surrounding tissues, may metastasize to several sites, and are likely to recur after attempted removal and to cause death of the patient unless adequately treated. As used herein, the term cancer is subsumed under the term tumor or neoplasia. Cancers, which may be treated using one or more compounds according to the present invention, include stomach, colon, rectal, liver, pancreatic, lung, breast, cervix uteri, corpus uteri, ovary, prostate, testis, bladder, renal, brain/CNS, head and neck, throat, Hodgkin's disease, non-Hodgkin's leukemia, multiple myeloma leukemias, skin melanoma, acute lymphocytic leukemia, acute myelogenous leukemia, small cell lung cancer, choriocarcinoma, rhabdomyosarcoma, neuroblastoma, mouth/pharynx, esophagus, larynx, melanoma, lymphoma and kidney cancer. Compounds according to the present invention, which are used to treat tumors and/or cancer, are referred to as anti-proliferative.

The term "D-nucleoside" is used to describe a nucleoside compound, according to the present invention, which has a configuration about the nucleoside base/sugar synthon bond which is the same as the configuration of the naturally occurring nucleoside compounds.

The term "L-nucleoside" is used throughout the specification to describe those nucleoside compounds used in the present invention which have an unnatural L-configuration of the sugar synthon moiety in contrast to the natural D-configuration. Certain compounds according to the present invention do not have a D,L distinction.

The term "β-anomer" or "β-nucleoside" is used to describe nucleoside compounds according to the present invention which have a *cis*-relationship between the base and the 4'-

hydroxymethyl group (i.e., a configuration in which the base and 4'-hydroxymethyl group of the sugar are in the same side of the sugar ring).

The term "α-anomer" or "α-nucleoside" is used to describe nucleoside compounds according to the present invention which have a *trans*-relationship between the base and the 4'-hydroxymethyl group (i.e., a configuration in which the base is oriented in the opposing plane relative to the 4'-hydroxymethyl group of the sugar synthon).

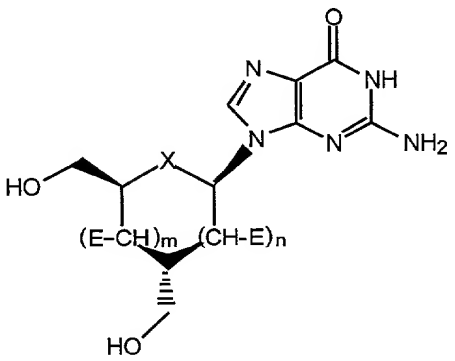
The term pharmaceutically acceptable derivative is used throughout the specification to describe any pharmaceutically acceptable salt or prodrug form (such as an ester, phosphate ester or salt of an ester or a related group) of a nucleoside compound which, upon administration to a patient, provides directly or indirectly the nucleoside compound or an active metabolite of the nucleoside compound. Pharmaceutically acceptable salts forms of the present compounds are also contemplated by the present invention. Pharmaceutically acceptable salts include those derived from pharmaceutically acceptable inorganic or organic bases and acids. Suitable salts include those derived from alkali metals such as potassium and sodium, alkaline earth metals such as calcium and magnesium, and ammonium among numerous other acids well known in the pharmaceutical art.

The term "enantiomerically enriched" is used throughout the specification to describe a nucleoside which includes at least about 95%, preferably at least about 96%, more preferably at least about 97%, even more preferably, at least about 98%, and even more preferably at least about 99% or more of a single enantiomer of that nucleoside. When a nucleoside of a particular configuration (D or L) is referred to in this specification, it is presumed that the nucleoside is an enantiomerically enriched nucleoside, unless otherwise stated.

The invention as described herein includes processes to prepare compounds of formulas **[I]** - **[IV]**. In another embodiment, the use of these compounds for the treatment of viral hepatitis (B, C or D), HIV infections and AIDS or abnormal cellular proliferation in humans or other host animals that includes administering an effective amount of a compound of general formulas **[I]** - **[IV]** to a patient in need of therapy or preventions. The compounds of this invention either possess anti-viral (anti-HBV, anti-HCV or anti-HDV) activity, anti-HIV activity, antibacterial activity or anti-proliferative activity, or are metabolized to a compound that exhibits such activity. It should be noted that compounds of formula **[I]** -

[**IV**] may be viewed as sugar ring expanded analogues of the anti-viral antibiotic oxetanocin-G (Presentation 1).

Presentation 1. Structural relationship between oxetanocin and compounds of present invention.

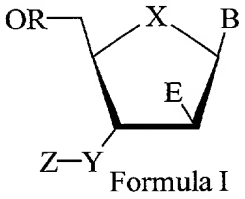


Oxetanocin-G: X = O, n = m = 0

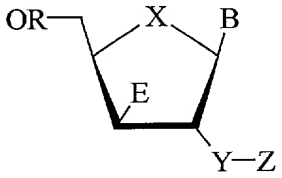
Formula **I** and **II**: m = 0, n = 1

Formula **III** and **IV**: n = 1, m = 0

Specifically, this invention provides processes for the preparation of a compound having the structure:



Formula I



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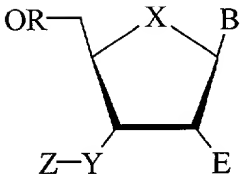

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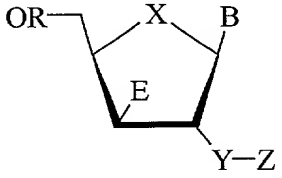


Formula IV

wherein:

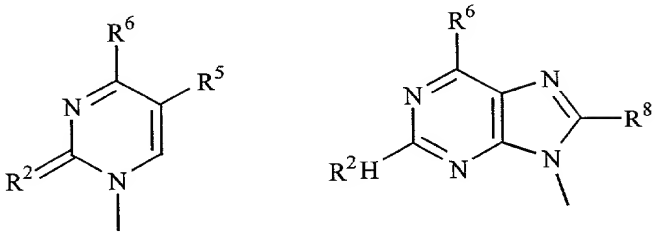
E is selected from the group consisting of H, OH, OMe, SH, SMe, NH_2, NHMe, N_3, F, Cl, Br, CO_2H, CO_2-alkyl, OPh, $OPhNO_2$, NO, NO_2, SCN, OCN, NCS, NCO, SOMe, SO_2Me;

X is selected from the group consisting of O, S, NH, CH_2, CHF, CF_2;

Y is selected from the group consisting of CH_2, NH, NOH, NMe, NEt, NOMe, CHF, CF_2;

Z is selected from the group consisting of H, OH, Ome, SH, SMe, F, Cl, Br, I, NH_2, NHMe;

B is a base selected from the group consisting of

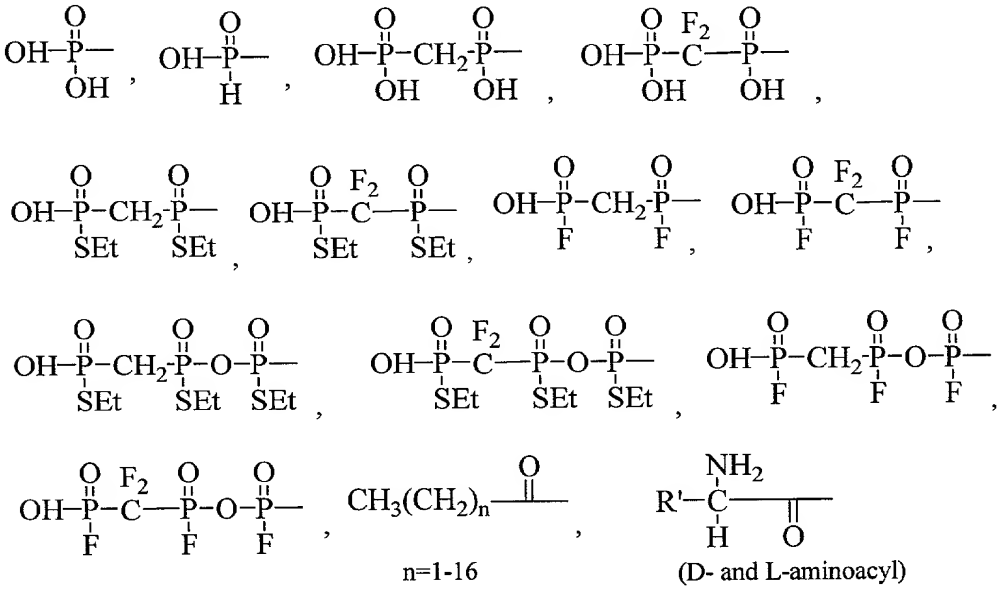


R^2 is selected from the group consisting of O, S, NH, NR;

R^5 is selected from the group consisting of H, branched or unbranched lower alkyl having 1-5 carbon atoms, F, Cl, Br, I, CH=CH_2, CH=CHBr, Ph, Ac, OMe, OPh, NO, NO_2, NH_2, NHR;

R^6 and R^8 are the same or different and are independently selected from the group consisting of H, OH, OMe, SH, SMe, F, Cl, Br, I, NH_2, NHMe, NMe_2;

R is independently selected from the group consisting of



n=1-16

(D- and L-aminoacyl)

or pharmaceutically acceptable salts or prodrugs thereof.

Pharmaceutical compositions based upon the compounds of the present invention comprise the above-described compounds in a therapeutically effective amount for treating a viral infection such as an HBV, HCV, HDV or HIV infection or a proliferative disease such as a tumor or cancer, optionally in combination with a pharmaceutically acceptable additive, carrier or excipient. One of ordinary skill in the art will recognize that a therapeutically effective amount will vary with the infection or condition to be treated, its severity, the

treatment regimen to be employed, the pharmacokinetics of the agent used, as well as the patient or host organism (animal or human) treated.

In the pharmaceutical aspect according to the present invention, the compound according to the present invention is formulated preferably in admixture with a pharmaceutically acceptable carrier. In general, it is preferable to administer the pharmaceutical composition in orally administrable form, but certain formulations may be administered via a parenteral, intravenous, intramuscular, transdermal, buccal, subcutaneous, vaginal, suppository or other route. Intravenous and intramulscular formulations are preferably administered in sterile saline. One of ordinary skill in the art may modify the formulations within the teachings of the specification to provide numerous formulations for a particular route of administration without rendering the compositions of the present invention unstable or compromising their therapeutic activity. In particular, the modification of the present compounds to render them more soluble in water or other vehicle, for example, may be easily accomplished by minor modifications (salt formulation, esterification, etc.), which are well within the ordinary skill in the art. It is also well within the ordinary artisan's skill to modify the route of administration and dosage regimen of a particular compound in order to manage the pharmacokinetics of the present compounds for maximum beneficial effect in patients.

In certain pharmaceutical dosage forms, the prodrug form of the compounds, especially including acylated (acetylated or other) and ether derivatives, phosphate esters and various salt forms of the present compounds, are preferred. One of ordinary skill in the art will recognize how to readily modify the present compounds to prodrug forms to facilitate delivery of active compounds to a targeted site within the host organism or patient. The routineer also will take advantage of favorable pharmacokinetic parameters of the prodrug forms, where applicable, in delivering the present compounds to a targeted site within the host organism or patient to maximize the intended effect of the compound in the treatment of viral infections or proliferative diseases.

The amount of compound included within therapeutically active formulations, according to the present invention, is an effective amount for treating the infection or condition, in preferred embodiments, an HBV, HCV, HDV, or HIV infection or a proliferative disease, including cancer. In general, a therapeutically effective amount of the present compound in pharmaceutical dosage form usually ranges from about 0.1 mg/patient

kg to about 100 mg/patient kg or more, depending upon the compound used, the condition or infection treated and the route of administration. In the case of proliferative diseases including cancer, the active compound is preferably administered in amounts ranging from about 0.5 mg/patient kg to more than 100 mg/kg of the patient, depending upon the pharmacokinetics of the agent in the patient. For purposes of the present invention, a prophylactically or preventively effective amount of the compositions, according to the present invention, falls within the same concentration range as set forth above for therapeutically effective amount and is usually the same as a therapeutically effective amount.

Administration of the active compound may range from continuous (intravenous drip) to several oral administrations per day (for example, Q.I.D.) and may include oral, topical, parenteral, intramuscular, intravenous, subcutaneous, transdermal (which may include a penetration enhancement agent), buccal and suppository administration, among other routes of administration. Enteric-coated oral tablets may also be used to enhance bioavailability of the compounds from an oral route of administration. The most effective dosage form will depend upon the pharmacokinetics of the particular agent chosen, as well as the severity of disease in the patient. Oral dosage forms are particularly preferred, because of ease of administration and prospective favorable patient compliance.

To prepare the pharmaceutical compositions according to the present invention, a therapeutically effective amount of one or more of the compounds is preferably intimately admixed with a pharmaceutically acceptable carrier according to conventional pharmaceutical compounding techniques to produce a dose. A carrier may take a wide variety of forms depending on the form of preparation desired for administration, e.g., oral or parenteral. In preparing pharmaceutical compositions in oral dosage form, any of the usual pharmaceutical media may be used. Thus, for liquid oral preparations such as suspensions, elixirs and solutions, suitable carriers and additives including, but not limited to, water, glycols, oils, alcohols, flavoring agents, preservatives, coloring agents and the like may be used. For solid oral preparations such as powders, tablets, capsules, and for solid preparations such as suppositories, suitable carriers and additives including, but not limited to, starches, sugar carriers, such as dextrose, mannitol, lactose and related carriers, diluents, granulating agents, lubricants, binders, disintegrating agents and the like may be used. If desired, the tablets or capsules may be enteric-coated or sustained release by standard techniques. The use of these dosage forms may significantly impact the bioavailability of the compounds in the patient.

For parenteral formulations, the carrier will usually comprise sterile water or aqueous sodium chloride solution, though other ingredients, including, but not limited to, those which aid dispersion, also may be included. Of course, where sterile water is to be used and maintained as sterile, the compositions and carriers must also be sterilized. Injectable suspensions may also be prepared, in which case appropriate liquid carriers, suspending agents and the like may be employed.

Liposomal suspensions (including liposomes targeted to viral antigens) may also be prepared by conventional methods to produce pharmaceutically acceptable carriers. This may be appropriate for the delivery of free nucleosides, acyl nucleosides or phosphate ester prodrug forms of the nucleoside compounds according to the present invention.

In particularly preferred embodiments according to the present invention, the compounds and compositions are used to treat, prevent or delay the onset of viral infections of mammals and in particular HBV, HCV, HDV, or HIV infections in humans. Preferably, to treat, prevent or delay the onset of HBV, HCV, HDV or HIV infections, the compositions will be administered in oral dosage form in amounts ranging from about 250 micrograms up to about 500 mg or more at least once a day, preferably, up to four times a day, though it will be recognized by one of ordinary skill in the art that in some instances a lower or higher dosage may be indicated. The present compounds are preferably administered orally, but may be administered parenterally, topically or in suppository form.

The compounds according to the present invention, because of their low toxicity to host cells in certain instances, may be advantageously employed prophylactically to prevent HBV, HCV, HDV or HIV infection or to prevent the occurrence of clinical symptoms associated with the viral infection. Thus, the present invention also encompasses methods for the prophylactic treatment of viral infections, and in particular HBV, HCV, HDV or HIV infections. In this aspect, according to the present invention, the present compositions are used to prevent or delay the onset of an HBV, HCV, HDV or HIV infection. This prophylactic method comprises administration to a patient in need of such treatment, or who is at risk for the development of HBV, HCV, HDV or HIV disease, an amount of a compound according to the present invention effective for alleviating, preventing or delaying the onset of the viral infection. In the prophylactic treatment according to the present invention, it is preferred that the anti-viral compound utilized should be as low in toxicity as possible and preferably non-toxic to the patient. It is particularly preferred in this aspect of the present

invention that the compound which is used should be maximally effective against the virus and should exhibit a minimum of toxicity to the patient. In the case of HBV, HCV, HDV and HIV infections, compounds according to the present invention, which may be used to treat these disease states, may be administered within the same dosage range for therapeutic treatment (i.e., about 250 micrograms up to 500 mg or more from one to four times per day for an oral dosage form) as a prophylactic agent to prevent the proliferation of HBV, HCV, HDV or HIV or alternatively, to prolong the onset of an HBV, HCV, HDV or HIV infection, which manifests itself in clinical symptoms.

It has been recognized that drug-resistant variants of HIV, HBV, HCV, and HDV can emerge after prolonged treatment with an antiviral agent. Drug resistance most typically occurs by mutation of a gene that encodes for an enzyme used in the viral lifecycle; in the case of HBV, DNA polymerase, and in the case of HIV, reverse transcriptase, protease, or DNA polymerase. Recently, it has been demonstrated that the efficacy of a drug against HIV or HBV infection can be prolonged, augmented, or restored by administering the compound in combination or alternation with a second, and perhaps third, antiviral compound that induces a different mutation from that caused by the principle drug. Alternatively, the pharmacokinetics, biodistribution, or other parameter of the drug can be altered by such combination or alternation therapy. In general, combination therapy is typically preferred over alternation therapy because it induces multiple simultaneous stresses on the virus.

Compounds according to the present invention may be administered alone or in combination with other anti-HIV, anti-retrovirus, anti-HBV, anti-HCV, anti-cancer or antibacterial agents, including other compounds of the present invention. Certain compounds according to the present invention may be effective for enhancing the biological activity of certain agents according to the present invention by reducing the metabolism, catabolism or inactivation of other compounds and as such, are co-administered for this intended effect.

The second antiviral agent for the treatment of HIV, in one embodiment, can be a reverse transcriptase inhibitor (a "RTI"), which can be either a synthetic nucleoside (a "NRTI") or a non-nucleoside compound (a "NNRTI"). In an alternative embodiment, in the case of HIV, the second (or third) antiviral agent can be a protease inhibitor. In other embodiments, the second (or third) compound can be a pyrophosphate analog, or a fusion binding inhibitor. A list compiling resistance data of *in vitro* and *in vivo* for a number of antiviral compounds is found in Schinazi, et al., "Mutations in retroviral genes associated

with drug resistance," International Antiviral News, Volume 1(4), International Medical Press 1996.

Preferred examples of synthetic nucleosides that can be used in combination or alternation with the compounds disclosed herein for HIV therapy include, but are not limited to (-) or racemic 2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane (FTC); the (-)-enantiomer of 2-hydroxymethyl-5-(cyostin-1-yl)-1,3-oxathiolane (3TC); carbovir, acyclovir, interferon, AZT, DDI, DDC, D4T, CS-92 (3'-azido-2',3-dideoxy-5-methyl-cytidine), and beta-D-dioxolane nucleosides such as beta-D-dioxolanyl-guanine (DXG), beta-D-dioxolanyl-6-chloropurine (ACP), and abacavir.

Preferred examples of NNRTIs that can be used in combination or alternation with the compounds of the present invention for HIV therapy include, but are not limited to SUSTIVA (DuPont), nevirapine, delavirdine, TMC-120, DMP-266, Loviride, Capravarine and MKC-442 (6-benzyl-1-(ethoxymethyl)-5-isopropyl uracil.

Preferred protease inhibitors that can be used in combination with the compounds of the present invention for HIV therapy include, but are not limited to indinavir, inverase, viracept, norvir, fortovase, agenerase, lopinavir and DMP-450 (DuPont Merck).

Preferred compounds for combination or alternation therapy for the treatment of HBV include FTC (the (-)-enantiomer or the racemate), L-FMAU, interferon, beta-D-dioxolanyl-guanine (DXG), beta-D-dioxolanyl-2,6-diaminopurine (DAPD), beta-D-dioxolanyl-6-chloropurine (ACP), beta-D-dioxolanyl-2-aminopurine (ADP), famciclovir, penciclovir, bis-POM PMEA (adefovir dipivoxil); lobucavir, ganciclovir, ribavarin, lamivudine (3TC), L-thymidine (L-dT), L-2'-deoxycytidine (L-dT), L-2'-deoxycytidine-3',5'-di-O-valyl (D or L), entecavir (BMS-200475), adefovir, L-D4FC, D-D4FC, and mycophenolic acid (an IMPDH inhibitor).

Other compounds useful in combination or alternation therapy with the compounds of the present invention include interferon, macrokine, heptazyme, ribavarin (D and L), amantadine, ofloxacin, zadaxin and reticulose.

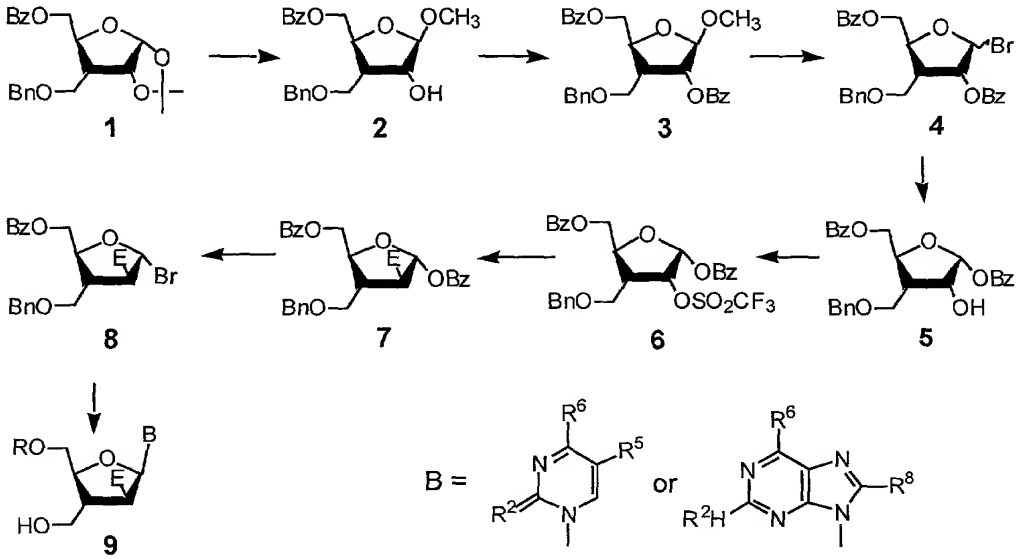
This invention is further illustrated in the Examples which follow. The Examples contained therein are set forth to aid in an understanding of the invention. This section is not intended to, and should not be interpreted to, limit in any way the invention set forth in the claims which follow thereafter.

PREPARATION OF THE COMPOUNDS

Compounds of formula [I] - [IV], in which X is oxygen, can be synthesized by three routes: (a) from a preformed nucleoside, (b) condensation of a branched sugar with purine or pyrimidine, and (c) combination of the two routes. For example, Bamford *et al.*(J. Med. Chem., 1990, 23:2494) synthesized non-phosphorylated pyrimidine nucleosides of formula [I] in which Y = CH_2, Z = OH, R^5 is H, CH_3, I and (E)-5-(2-bromovinyl), E = H or OH, and $R^2 = R^6 = O$ starting from uracil or thymine β-D-pentofuranoside 2',3'-lyxo-epoxide. Svansson *et al.*(J. Org. Chem., 1991, 56:2993) prepared a non-phosphorylated methyl 2,3-dideoxy-3-hydroxymethyl-D-ribofuranoside, from which was synthesized the thymine, cytosine and adenine nucleosides via condensation. Pudlo and Townsend (Tetrahedron Letters, 1990, 31:3104) developed a relatively simple method to synthesize non-phosphorylated 5-O-benzoyl-3-benzyloxymethyl-3-deoxy-1,2-O-isopropylidene-α-D-ribofuranose, from which were prepared non-phosphorylated 3-hydroxymethyl analogues of α and β-thymine riboside (Nucleosides Nucleotides, 1992, 11:279) 2'-Deoxygenation of the thymine arabinoside (Bamford, above) or riboside (Pudlo, above) analogue afforded non-phosphorylated 3'-deoxy-3'-hydroxymethylthymidine.

Our method of synthesis of compounds of formula [I] is illustrated in Scheme 1.

Scheme 1

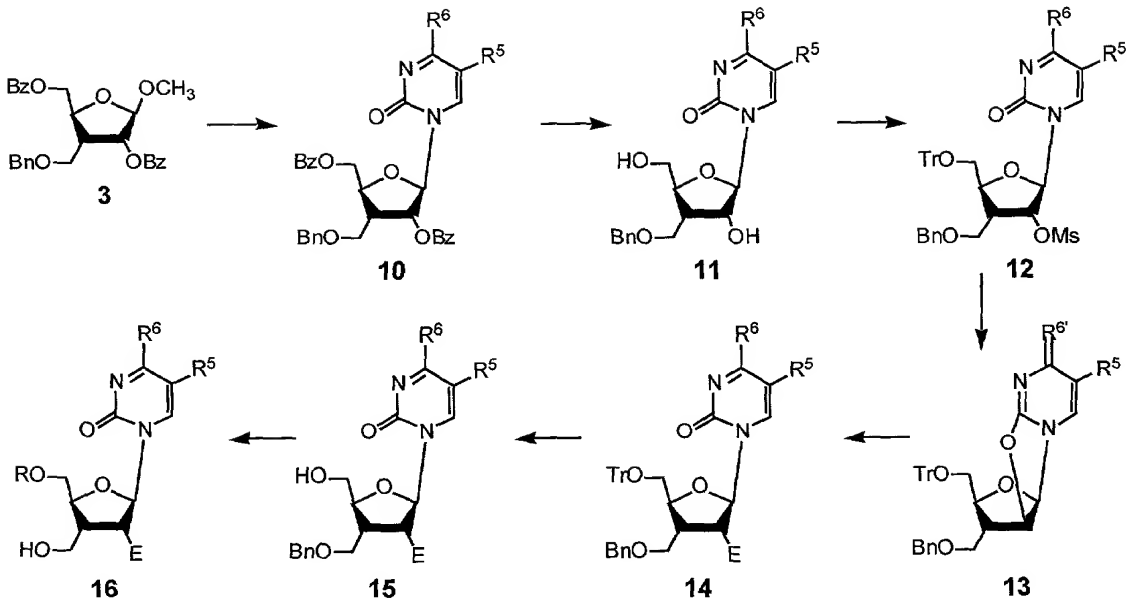


E, R, R^2, R^5, R^6 and R^8 are as defined previously herein.

The known compound **1** is converted into **2** by the procedure of Pudlo and Townsend. Benzoylation of **2** gives a new compound **3**, which is treated with HBr/CH_2Cl_2 to give the bromo derivative **4**. Treatment of **4** with mild base causes benzoyl migration to give rise to **5** which is triflylated to **6**. Nucleophilic substitution with a halide or other nucleophile, such as azide or cyanide ion, affords the corresponding 2-substituted arabino derivative **7**. Alternatively, **5** can be converted into the 2-fluoro-arabino derivative (**7**, E = F) by the procedure of Tann and Howell (J. Org. Chem., 1985, 50:3644; J. Org. Chem., 1988, 53:85) Due to the presence of the 2-substituent, bromination of **7** gives the α-bromide **8**. Since the 2-substituent is electronegative, **8** is rather stable and undergoes mainly S_N2 nucleophilic substitution upon condensation with silylated purine or pyrimidine base to give almost exclusively the desired β-nucleoside, which after deprotection affords **9** (R = H). Selective 5'-modification will be discussed later.

Pyrimidine nucleosides of formula **II** can be synthesized by the procedure in Scheme 2. Compound **3** is condensed with silylated pyrimidine according to Vorbruggen's procedure (J. Org. Chem., 1974, 39:3654; Chem. Ber., 1981, 114:1234; Chem. Ber., 1981, 114:1256). Due to the neighboring group participation of the 2-O-benzoyl group, only the desired β-nucleoside **10** is formed. De-O-benzoylation of **10** with base gives **11**, which, upon successive treatment with trityl chloride and mesyl chloride in pyridine, is converted into **12**. Base treatment of **12** results in the formation of 2,2'-anhydro-nucleoside **13**. Treatment of **13** with a nucleophile, such as halogen, gives the ribo-nucleoside **14**. Mild acid treatment of **14** removes the trityl group giving **15**, which is converted to the free nucleoside **16** (R = H) by catalytic hydrogenolysis or by treatment with BCl_3 in an inert solvent, such as methylene chloride. Further conversion of **16** (R = H) into 5'-modified nucleosides is discussed later.

Scheme 2

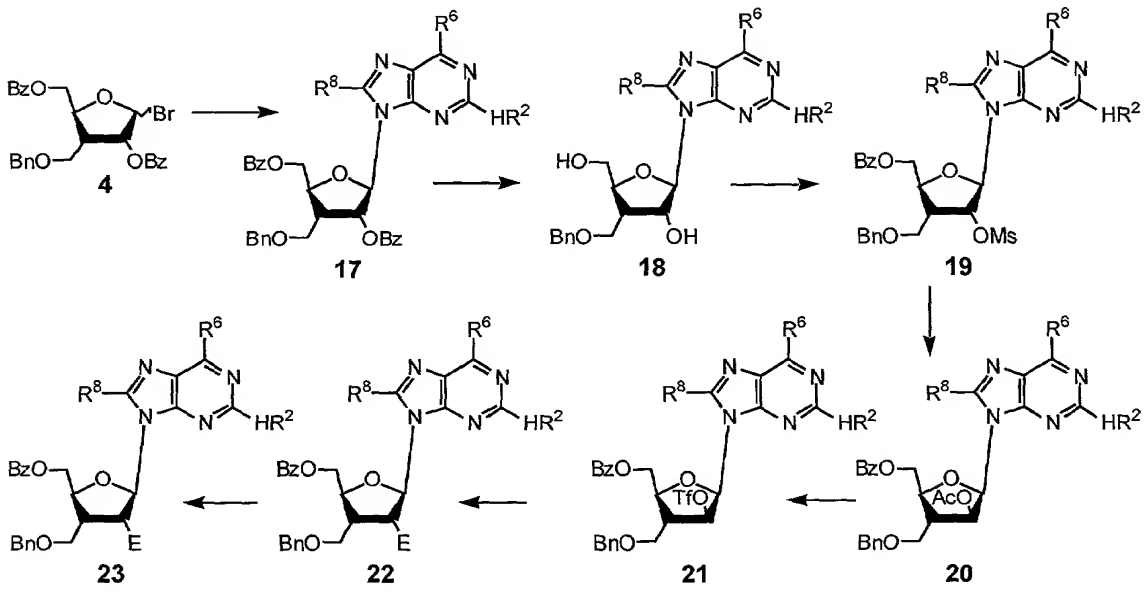


It should be noted that the same chemistry is applicable to the synthesis of L-nucleoside or racemic counterparts starting from the L-sugar derivative or racemate compound corresponding to **1**.

Scheme 3 illustrates an example of the synthesis of purine nucleosides of formula **II**. Compound **4** serves as the starting material, which is treated with sodio derivative of purine base in an inert solvent, such as acetonitrile or nitromethane, according to Kazimierczuk *et al.* (J. Am. Chem. Soc., 1984, 106:6379) affords the β-nucleoside **17**. Saponification of **17** in base gives **18**. When R^8 is not a participating group, such as OH, SH, NHR (R is methyl, ethyl, isopropyl or benzyl), **18** is treated with mesyl chloride in pyridine to afford the di-O-mesylate **19**, which is converted into the 2',5'-di-O-acyl arabino derivative **20** by treatment with alkali metal acylate, such as potassium acetate or sodium benzoate, preferably potassium acetate, in a polar solvent, such as dimethylformamide, dimethylsulfoxide, acetonitrile, hexamethylphosphoric triamide, or nitromethane, preferably dimethylformamide, at a temperature of from 0 °C to 215 °C, preferably from 60 °C to 114 °C. After saponification of **20**, the product is successively treated with trityl chloride and triflyl chloride in pyridine to give **21**. Nucleophilic substitution of the triflyloxy group with a halide, azide, sulfide, mercapto, or a like affords the corresponding 2'-substituted *ribo*-nucleoside **22**.

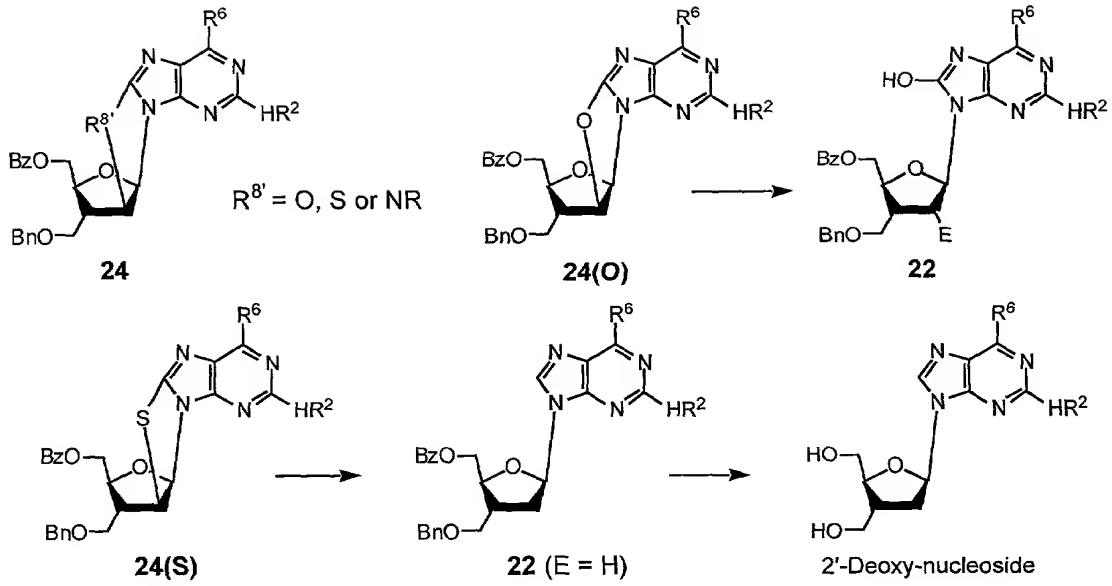
Saponification of **22**, followed by hydrogenolysis, gives the desired nucleoside **23** (R = H). Selective 5'-modification will be discussed later.

Scheme 3



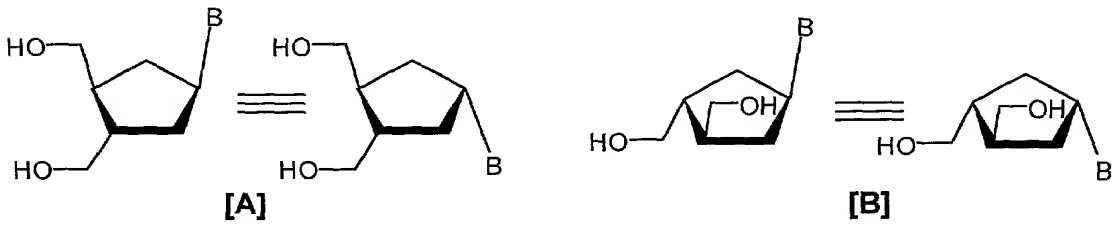
When R^8 is OH, SH or NHR (R = methyl, ethyl, isopropyl, or benzyl) in **19**, treatment with sodium benzoate in dimethylformamide leads to the formation an 2',8-anhydro-nucleoside **24** (Scheme 4). Purine nucleosides of formula **II**, *i.e.*, **22**, are prepared from the O-bridged compound **24(O)** by treatment with nucleophiles. The S-bridged compound can be converted into the corresponding 2'-deoxy-nucleoside by Raney nickel desulfurization to **22** (E = H), followed by de-blocking.

Scheme 4.



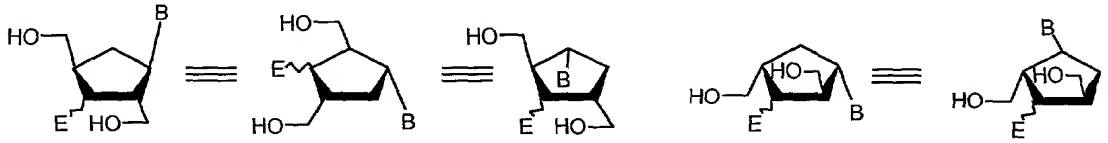
3',4'-Dihydroxymethyl compounds of formulas [**I**] and [**II**], in which X = CH_2 and E= H, are interesting as they have absolutely no anomeric significance as shown in Presentation 2. [**A**] can be viewed as an analogue of either β-D- or α-D- analogue, while [**B**], which is the mirror image of [**A**], is an analogue of both α-L and β-L-nucleosides. We name these nucleosides "*ananomeric*".

Presentation 2. Structures of ananomeric nucleosides.



2',4'-Dihydroxymethyl-*carba* derivatives of formulas **III** and **IV** also have interesting structural features: [**C**] and [**D**] can be considered as the β-D- and β-L-nucleoside, respectively. They can also be α-*iso*nucleosides (Presentation 3).

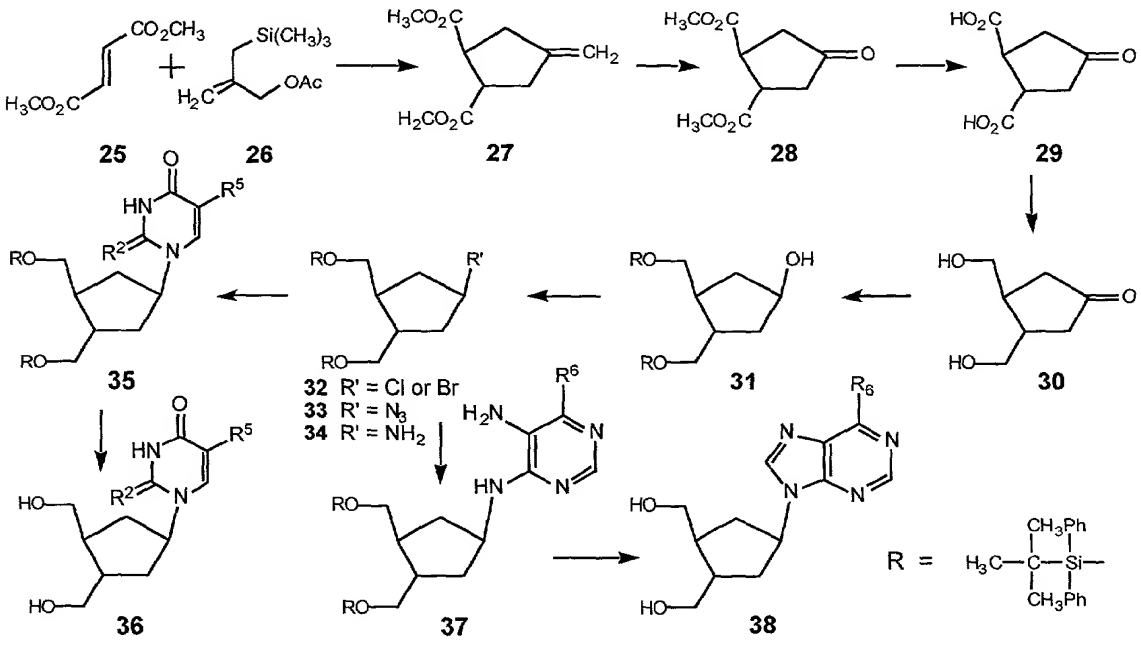
Presentation 3. Interesting structural features of *carba*-nucleosides of formulas **III** and **IV**.



[C] **[D]**

A number of chemically intriguing approaches to the *carba*-nucleosides of formulas **I** and **II** can be sought. The following two methods in Schemes 5 and 6 are used for the racemic products.

Scheme 5



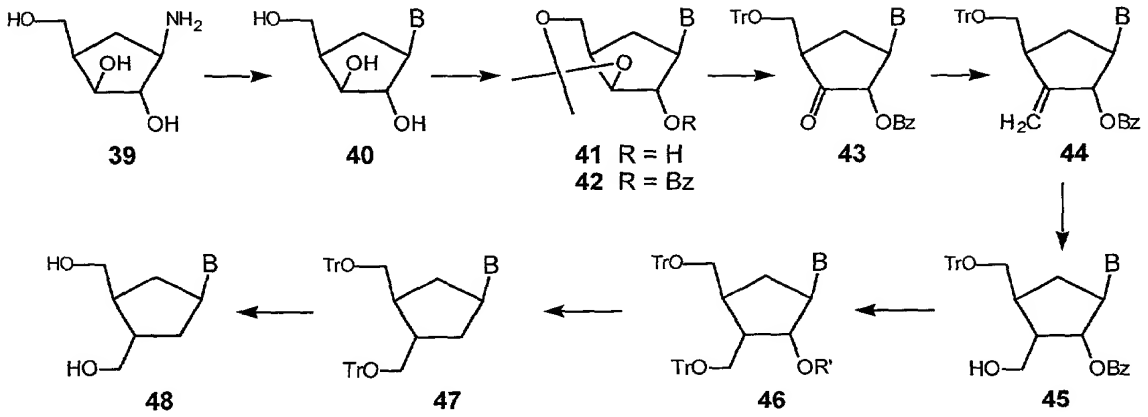
Commercially available reagents **25** and **26** (Scheme 5) are treated with triisopropylphosphine and $Pd(OAc)_3$ in toluene at reflux temperature to give *trans*-1,2-methoxycarbonyl-4-methylenecyclopentane (**27**). Ozonolysis of **27** gives the cyclopentanone **28**, which, after saponification to **29**, is reduced with diborane in dimethylsulfoxide to give *trans*-3,5-dihydroxymethylcyclopentanone (**30**). Treatment of **30** with *tert*-butyldiphenylsilyl

chloride in pyridine, followed by catalytic hydrogenation, affords the cyclopentanol **31**, in which C-1 is not chiral due to molecular symmetry. Compound **31** is then converted into the halide **32** by treatment with Vilsmeier-Haack reagent or carbon tetrahalide and triphenylphosphine. Treatment of **32** with NaN_3 gives the azido derivative **33**, which, upon reduction affords cyclopentylamine **34**. Condensation of **34** with β-ethoxy-N-ethoxycarbonylacrylamide (Wilson, L.J., Synthesis, 1995, 1465) furnish the uracil derivative (**35**, $R^2 = O$, $R^5 = H$). By using α-methyl-β-methoxyacryloyl isothiocyanate, 2-thiothymine nucleoside (**35**, $R^2 = S$, $R^5 = CH_3$) is obtained. Deprotection of **35** with fluoride ion gives the free nucleoside **36**. Various 5-substituted uracil nucleosides are likewise prepared in a similar manner. For the purine nucleoside synthesis, reaction of **34** with 5-amino-2,4-dichloropyrimidine gives **37** ($R^6 = Cl$), which is cyclized with triethyl orthoformate and then deprotected to a purine nucleoside (**38**, $R^6 = Cl$). Alternatively, reaction of **32** with 5-nitro-2,4-diaminopyrimidine followed by reduction of the product gives **37** ($R^6 = NH_2$), which is then converted into adenine derivative **38** ($R^6 = NH_2$). Various purine nucleoside analogues of formulas **I** and **II** are prepared from **37**. It should be noted that in the synthesis of racemic compounds **27** - **38**, there is no problem of anomeric configuration.

In an alternate route, the readily available racemic xylo analogue **39** (Scheme 6) is converted into the purine and pyrimidine *carba*-nucleosides (**40**) by the known procedures (Shaw, J. Chem. Soc., 1958, 2294; Vince, R., J. Med. Chem., 1984, 27:1358; Cusack, N., J. Chem. Soc., Perkin Trans. I, 1973, 1720) Compound **36** is treated with 2,2-dimethoxypropane in acetone in the presence of catalytic amount of p-toluenesulfonic acid to give the 3',5'-O-isopropylidene derivative **41**, which is benzoylated to **42**. After acid treatment of **42**, the product is tritylated and then oxidized under Bergstrom's conditions (Nucleosides Nucleotides, 1987, 6:53; 1989, 8:1529) to give **43**. The ketone **43** is converted into the 3'-methylene nucleoside **44** by Acton's procedure (J. Med. Chem. 1979, 22:518). Hydroboration - oxidation of **44** affords only 3'(α)-hydroxymethyl product **45**. Apparently hydride attack occurs exclusively from the least sterically hindered (β)-side. Compound **45** can also be obtained by treatment of **39** with benzyloxymethylene triphenylphosphorane, followed by reduction. Tritylation of **45** followed by O-debenzoylation in base and subsequent deoxygenation by way of xanthate or phenoxythiocarbonate [**46**, R' = C(S)SMe or C(S)OPh] affords **47**. Detritylation of **47** gives the corresponding racemic 2'-

deoxynucleoside analogue **36** or **38**. Compound **46** in which R is triflyl can be converted to other 2'-modified nucleosides of fromula **I** and **II**.

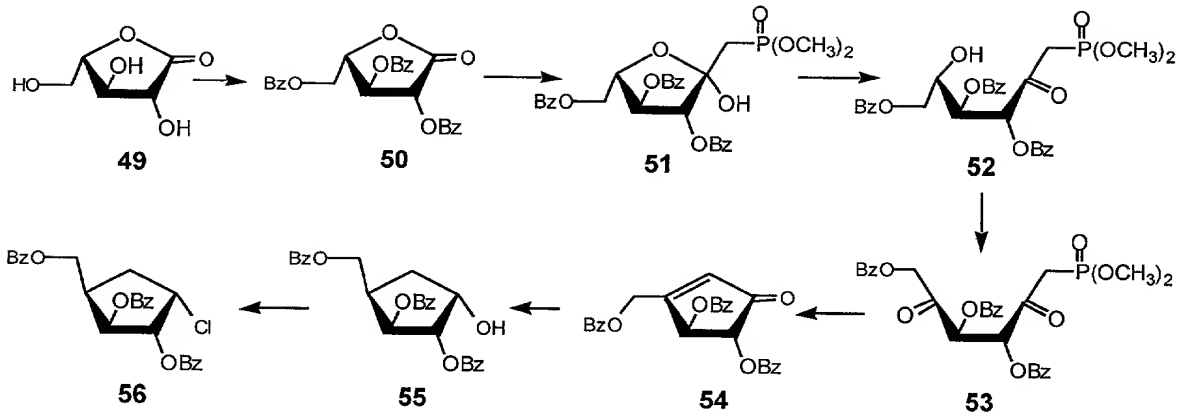
Scheme 6



Although optical resolution of the racemate can be effectively performed at the stage of **45** using the Pirkle's method, several routes are developed for the direct synthesis of chiral *carba*-nucleosides.

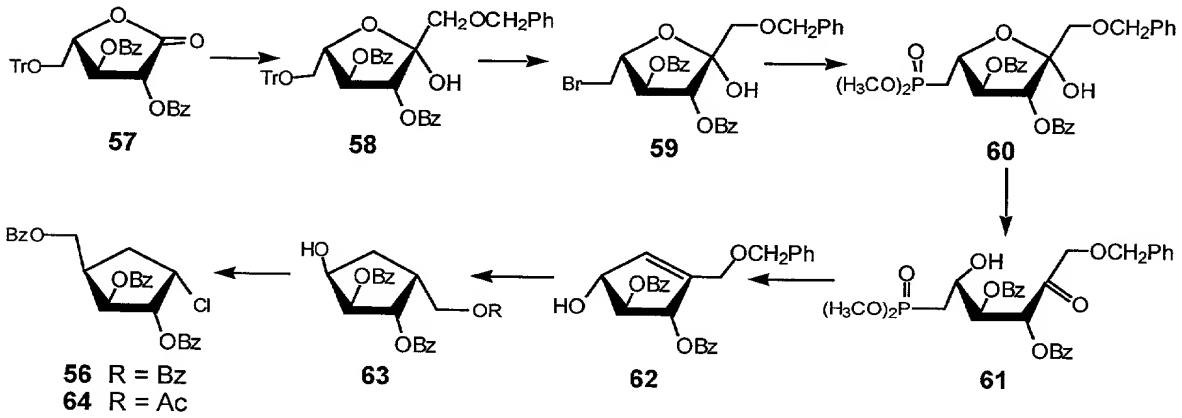
L-Arabinolactone (**49**, Scheme 7) is benzoylated to **50** and then converted into the phosphonate **51** according to Lim and Marquez (Tetrahedron Letters, 1983, 24:5559). Hydrolysis of the hemiketal **51** affords **52**, which is oxidized to diketone **53**. Subsequent intramolecular Wittig-Horner reaction results in the olefin **54**. Reduction of **54** proceeds stereoselectively giving only **55**, since the α-side of the carbonyl group and the β-side of the benzoylated hydroxymethyl group are hindered by the presence of neighboring benzoyloxy groups. Chlorination of **55** with thionyl chloride gives the chloride **56** with retention of configuration (characteristics of SOX_2 halogenation). A further treatment of **56** with NaN_3, followed by reduction should afford enantiomerically pure **34**. A sequence of reactions from **34** as outlined in Scheme 5 gives the targeted D-nucleoside analogues of Formulas **I** and **II**.

Scheme 7



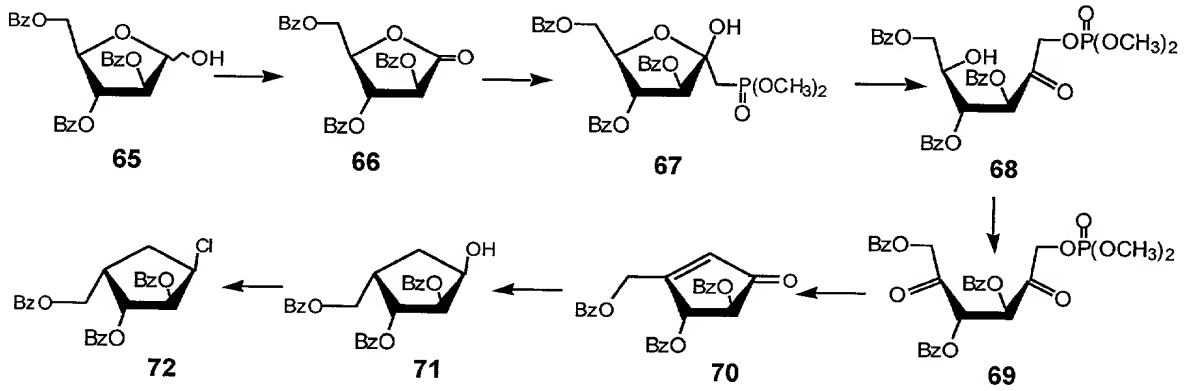
Another alternative is conversion of L-arabinolactone to 5-O-trityl-2,3-di-O-benzoyl-L-arabinolactone (**57**, Scheme 8), which is treated with lithiobenzyloxymethane to give **58** (stereochemistry of the product is not important at all). Detritylation of **58**, then bromination with CBr_4/PPh_3 affords **59**. Arbuzov reaction with $P(OMe)_3$ gives phosphonate **60**, which, upon acid hydrolysis, is converted into the open chain intermediate **61**. Intramolecular Wittig-Horner reaction furnishes the olefin **62**. Reduction of **62** proceeds stereoselective manner giving rise to **63** (R = H) which is converted into compounds of formulas **I** and **II** via the halogeno intermediate (**56** or **64**) by procedures described earlier.

Scheme 8



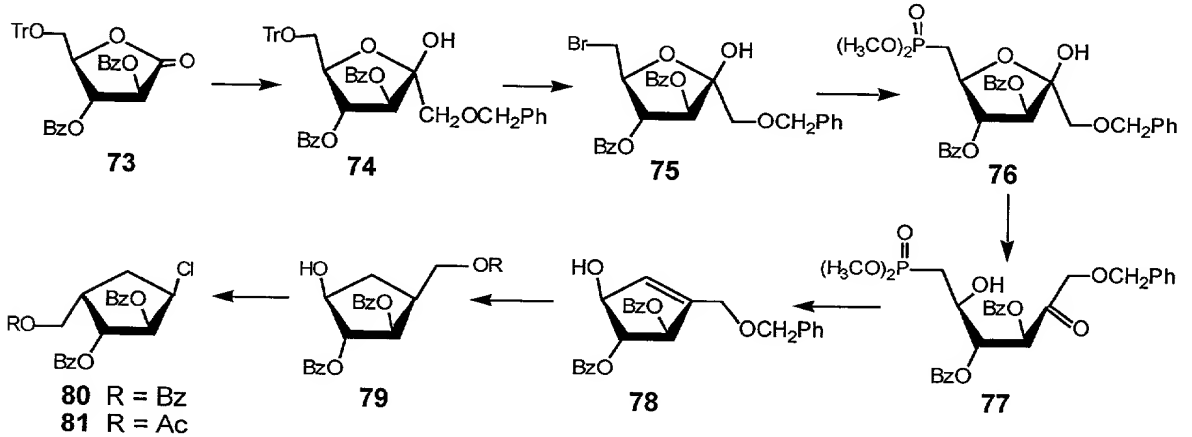
For the synthesis of L-nucleoside counterparts, the starting material is the readily-available tri-O-benzoyl-α-D-arabinose (**65**, Scheme 9). Swern oxidation of **65** affords tri-O-benzoyl-D-arabinolactone (**66**). Similar sequence of reactions described for the synthesis of D-nucleosides of formulas **[I]** and **[II]** from L-arabinolactone gives the corresponding L-nucleosides.

Scheme 9



Alternatively, starting from 5-O-trityl-2,3-O-benzoyl-D-arabinolactone (**73**, Scheme 10), *carba*-L-nucleosides are synthesized.

Scheme 10



The present invention includes the synthesis of nucleosides with general formula [V] in Presentation 4, which are isomeric to compounds of formulas [I] and [II].

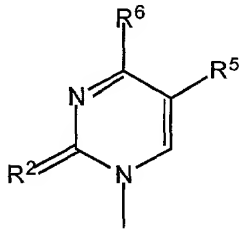
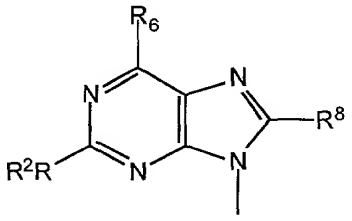
Figure 4. 3'-Hydroxymethyl- xylo-nucleosides.

E = H, OH, OMe,SH, SMe, NH_2, NHMe, N_3, F, Cl, Br, CO_2H, CO_2Me, CO_2Et, OPh, $OPhNO_2$, NO, NO2, SCN, OCN, NCS, NCO, SOMe, SO_2Me

X = O, S, NH, CH_2, CHF, CF_2

Y = CH_2, NH, NOH, NMe, NEt, NOMe, CHF, CF_2

Z = H, OH, OMe, SH, SMe, F, Cl, Br, I, NH2, NHMe

B =  or 

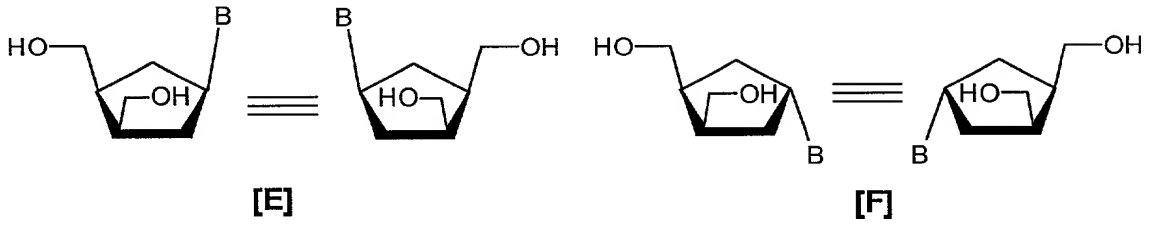
R^2 = O, S, NH, NR

R^5 = H, Me, Et, nPr, iPr, F, Cl, Br, I, $CH=CH_2$, CH=CHBr, Ph, Ac, OMe, OPh, NO, NO_2, NH_2,NHR

R^6 and R^8: Same or different, and H, OH, OMe, SH, SMe, Cl, Br, I, NH_2, NHMe, NMe_2

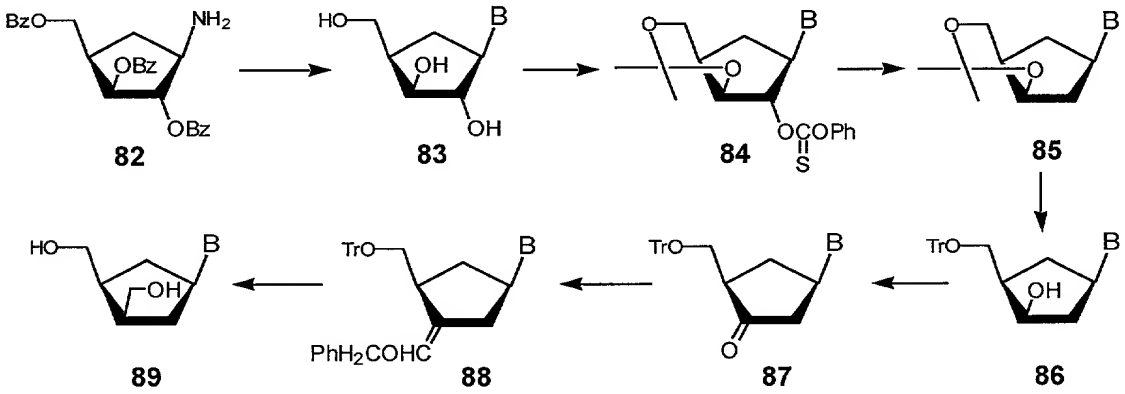
Of this class of compounds, 2'-deoxy-*carba*-nucleosides are of particular interest. Such compounds do not have the D and L distinction as shown in Presentation 5. However, there is anomeric configuration the as the all *cis*-compound [**E**] (β-nucleoside) is not superimposible to *trans*-nucleoside [**F**] (α-nucleoside).

Presentation 5. Nucleosides without D,L distinction



The β-nucleosides **[E]** is synthesized as shown in Scheme 11. Compound **56** (described in Scheme 7) is readily converted into **82** by treatment with NaN_3 followed by reduction. After saponification, the product **83** is converted into 3,5-O-isopropylidene derivative, and then deoxygenated to **85** by way of 2-phenoxythiocarbonyl derivative **84**. After mild acid treatment to remove the isopropylidene group, the product is tritylated to give **86**. Oxidation of **86** under Bergstrom's conditions affords **87**, which, upon treatment with benzyloxy-methyltriphenylphosphorane, is converted into **88**. Hydrogenation of the latter gives the all *cis* or β-nucleoside exclusively. Apparently the attack of hydride occurs from the least hindered α-side.

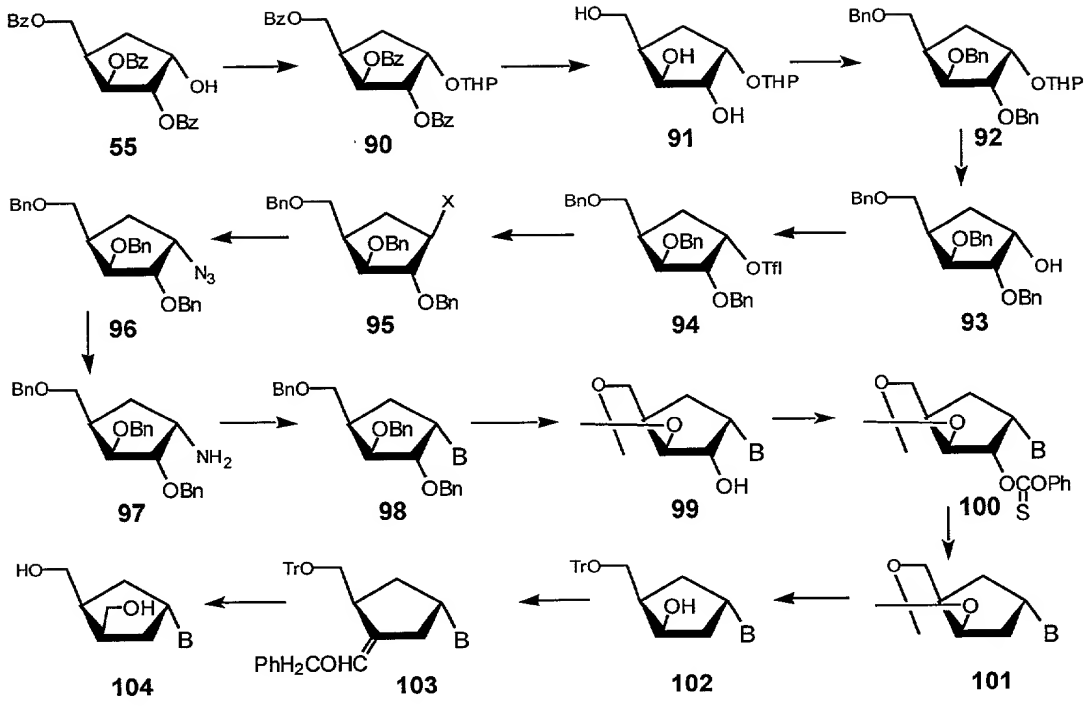
Scheme 11



The synthesis of *trans* or α-nucleosides starts with **55**, which is converted into the tetrahydropyranyl derivative **90** (Scheme 12). Saponification in base removes benzoyl groups from **90** to give **91**, which is then benzylated to **92** with NaH and benzyl halide in

tetrahydrofuran. Compound **92** is hydrolyzed in mild acid, and the product **93** is sulfonylated with mesyl chloride, tosyl chloride or triflyl chloride or the like in organic base, such as pyridine in the presence or absence of 4-N,N-dimethylaminopyridine. The product **94** is treated with NaBr in N,N-dimethylformamide to give the β-halogeno derivative **95**, which is further converted into the α-azide **96** with NaN_3. This reaction sequence, namely, replacement of the participating benzoyl protecting groups with non-participating benzyl groups is necessary. If the protecting groups in **95** are benzoyl, the next reaction gives the β-azide product by the neighboring group participation instead of the desired α-azide derivative **96**. Staudinger reduction of **96** with triphenylphosphine selectively converts the azide into the amine giving rise to **97**, which is further converted into nucleosides **98** as described earlier. Catalytic hydrogenation, followed by isopropylidenation with acetone and 2,2-dimethoxypropane in the presence of *p*-toluenesulfonic acid affords **99**, which is deoxygenated to **101** by way of the phenoxythiocarbonyl intermediate **100**. De-O-isopropylidenation of **101**with diluted acetic acid, followed by tritylation gives **102**. Oxidation of **102** under Swern's conditions with dimethylsolfoxide and oxalyl chloride to give 3'-ketone, which is treated with triphenylbenzyloxymethylenephosphorane to afford **103**. Hydrogenation of **103** occurs selectively from the less hindered α-side giving the desired α-nucleoside 104.

Scheme 12



Stereospecific synthesis of nucleoside 5'-monophosphates

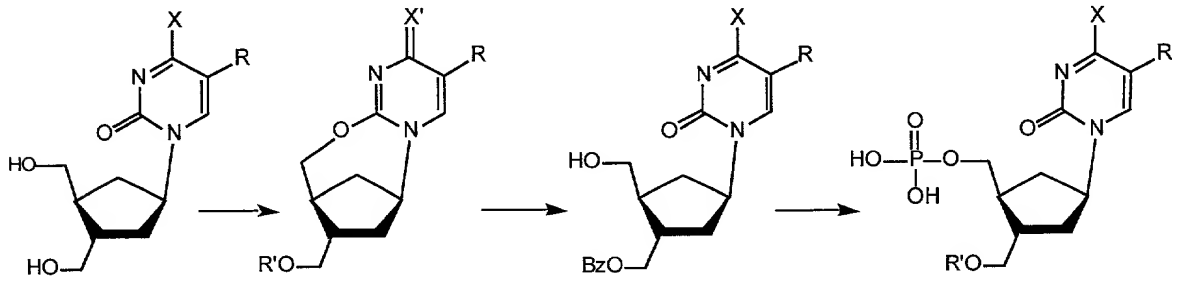
Antiviral nucleosides must be converted into their corresponding 5'-triphosphates to exert biological activity. If these nucleosides are very poor substrates for *nucleoside* kinase(s), they will not exhibit significant activity. However, their *synthetic* monophosphates may be good substrates for *nucleotide* kinases, and can be converted into the corresponding triphosphates which are expected to become inhibitors or substrates of polymerases. In many cases, among the three successive phosphorylation steps, the first (catalyzed by nucleoside kinase) is rate limiting, and further conversions to the di- and tri-phosphates are catalyzed by less specific nucleotide kinases. Unfortunately, nucleotides cannot be used as chemotherapeutic agents because there are problems of cell membrane penetration and stability toward hydrolyzing enzymes. It has been demonstrated that the monoester of hydrogenphosphonate (H-phosphonate) or methylphosphonate (Me-phosphonate), which has only one dissociable proton, is a weak acid with pKa of 3-4. Such monoester of phosphonate is much more lipophilic than the corresponding phosphate and should be cell membrane penetrable. The phosphonate analogues are known as more apt for cellular uptake than the parent phosphates. Thus, nucleoside 5'-H-phosphonates are synthesized (see, Scheme 14) as

prodrugs, which, after entering the cell, are oxidized to their corresponding 5'-phosphates by biological oxidizing systems, such as cytochrome C.

Pyrimidine β-nucleoside 5'-phosphates

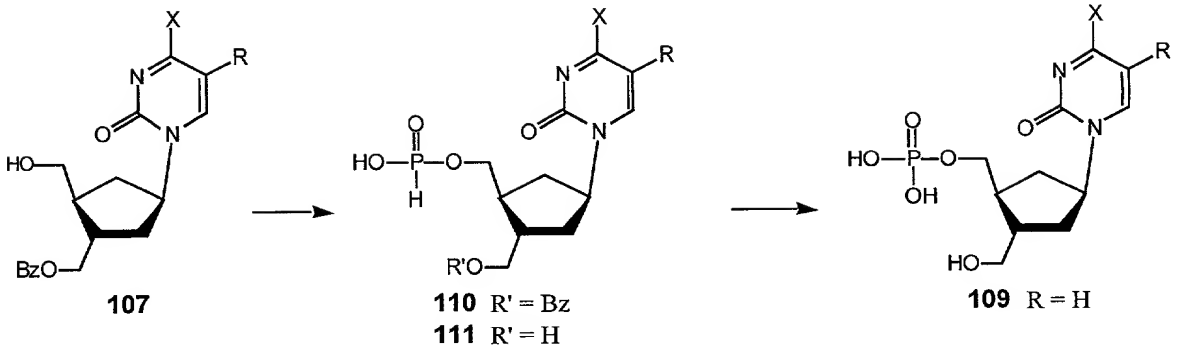
Application of the Mitsunobu reaction to chiral nucleoside **48** affords anhydro-derivative **105** (Scheme 13), which is benzoylated to give **106**. After mild alkaline hydrolysis of **106**, the product **107** is phosphorylated by the Yoshikawa procedure [$POCl_3$/$(MeO)_3PO$-H_2O] or the Roescher-Jastorff procedure [$POCl_3$/pyridine-acetone] to give nucleotide **108**. Saponification of the benzoyl group gives the desired β-nucleoside 5'-phosphate (**109**). Instead of using the benzoyl protecting group, acid labile protecting group, such as tetrahydropyranyl (THP) or trityl group, or dimethyl-*t*-butylsilyl (DMBS) can also be used.

Scheme 13



Another method for preparation of β-phosphate (**109**) is to phosphitylate **107** with tris-(1,1,1,3,3,3-hexafluoro-2-propyl)phosphite to **110** (Scheme 14). After removal of the benzoyl group in base, the product **111** is oxidized to **109** according to Matulic-Adamic, *et al.* (Nucleosides Nucleotides, 1993, 12:1085). Compound **111** can be viewed as a prodrug of **109**.

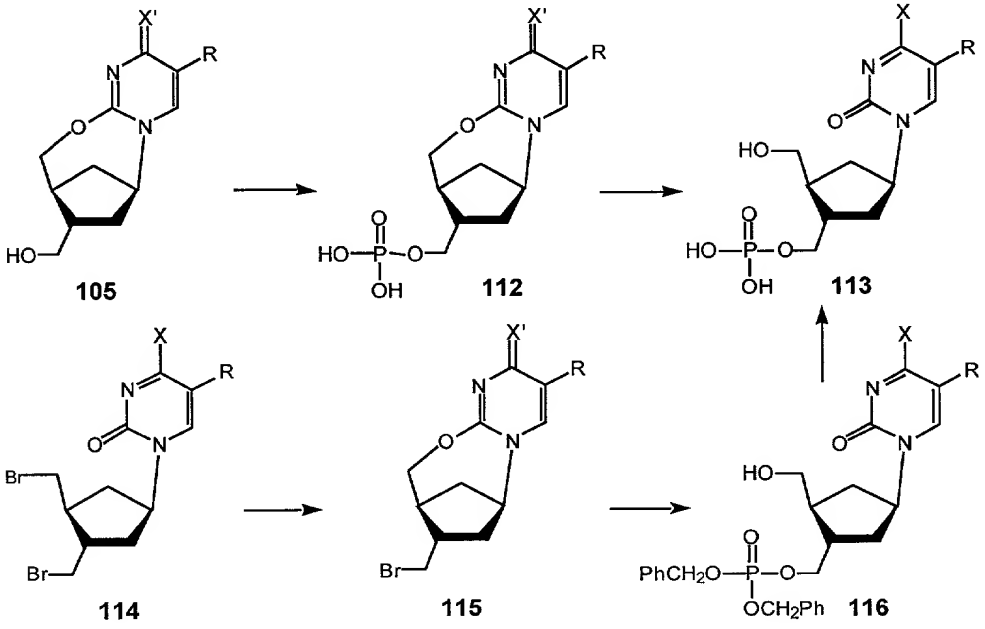
Scheme 14



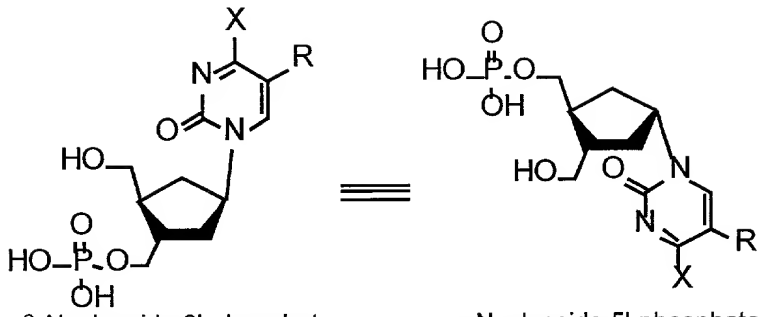
Pyrimidine α-nucleoside 5'-phosphates

Direct phosphorylation of **105**, followed by base hydrolysis of the anhydro-linkage of product **112** (Scheme 15) gives the β-nucleoside 3'-phosphate (**113**), which is actually the α-nucleoside 5'-phosphate (Presentation 6). Alternatively, treatment of chiral **48** with CBr_4-Ph_3P according to Lee and Nolan (Tetrahedron, 1967, 23:1331) affords dibromide **114**. Treatment of **114** with a non-nucleophilic base, such as DBU or DBN, in an inert solvent such as DMF, THF, or a like, affords anhydro-nucleoside **115**. Treatment of **115** with tribenzylphosphite causes the Arbuzov reaction giving rise to **116**, which is hydrogenated to remove benzyl groups affording **113**.

Scheme 15



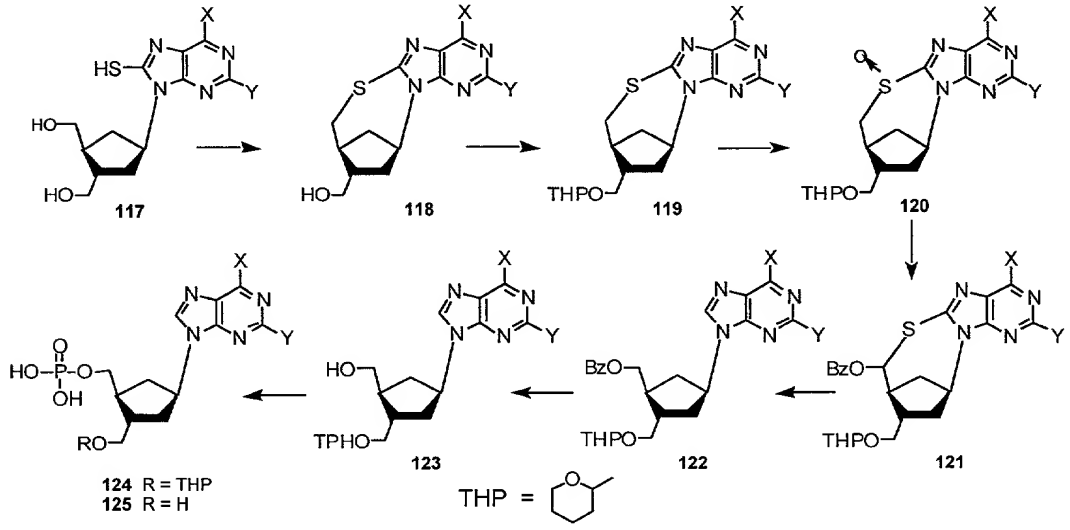
Presentation 6



Purine β-nucleoside 5'-phosphates.

Purine nucleoside is readily converted into the 8-thio-derivative **117** (Scheme 16) *via* bromination, followed by thiourea treatment. Application of modified Mitsunobu reaction to **117** gives the S-anhydro product **118**. After protection of the other primary OH group with a base stable protecting group, such as THP, the product **119** is subjected to mild oxidation with one equivalent of m-chloroperbenzoic acid to convert into sulfoxide **120**. Pummerer rearrangement of **120** using benzoic anhydride affords **121**. Desulfurization of **121** with Raney nickel to **122**, followed by de-O-benzoylation in base gives **123**. Phosphorylation of **123** to **124**, followed by mild acid treatment furnishes the desired β-D-product **125**.

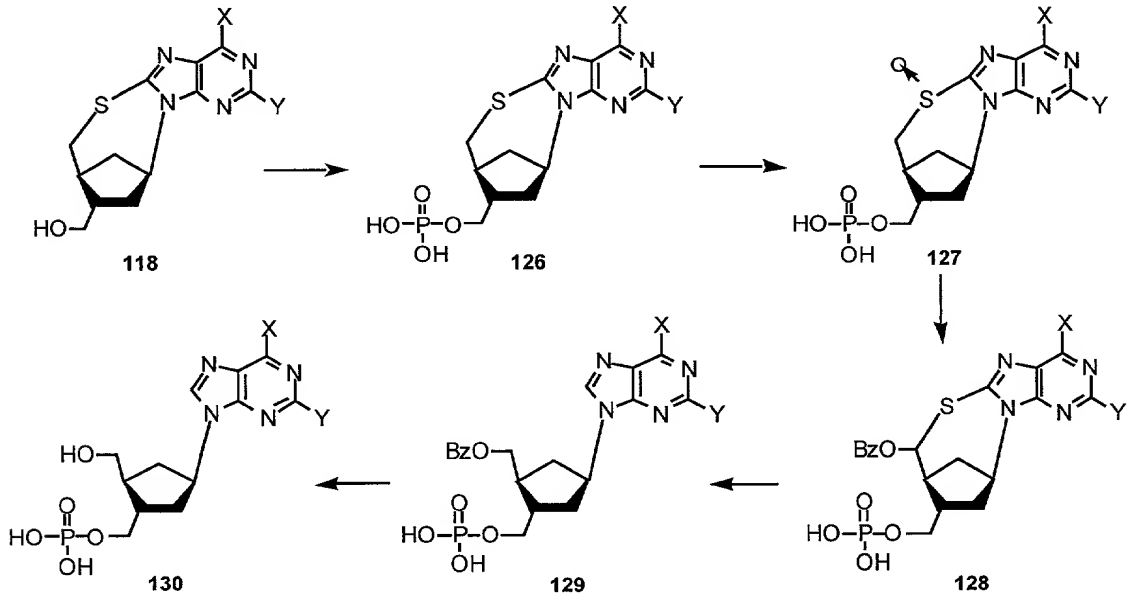
Scheme 16



Purine α-nucleoside 5'-phosphates

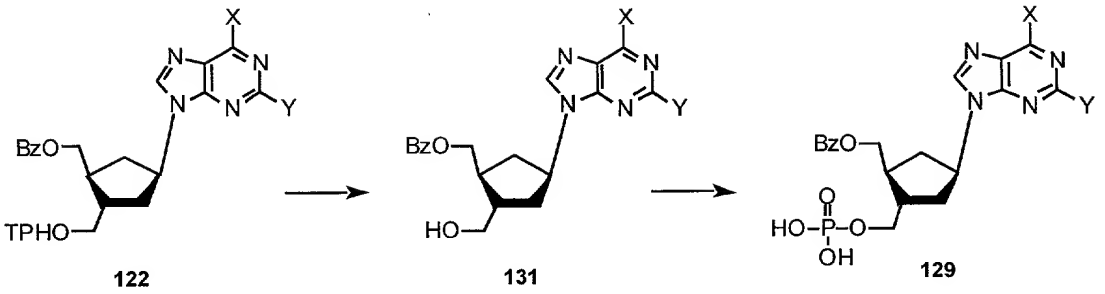
For the synthesis of α-nucleoside 5'-phosphates, the intermediate **118** is directly phosphorylated to **126** (Scheme 17). Conversion of **126** to the desired α-nucleotides **130** is achieved *via* oxidation to **127**, followed by Pummerer rearrangement to **128**, which is desulfurized to **129** with Raney nickel, and then debenzoylation.

Scheme 17



Alternatively, mild acid hydrolysis of **122** affords **131** (Scheme 18). Phosphorylation of **131** to **129**, followed by debenzoylation gives **130**.

Scheme 18



122 131 129

Synthesis of nucleoside 5'-di- and 5'-triphosphates

Nucleoside 5'-monophosphates described above are converted into their corresponding 5'-di- and 5'-triphosphates by methods known in the art.

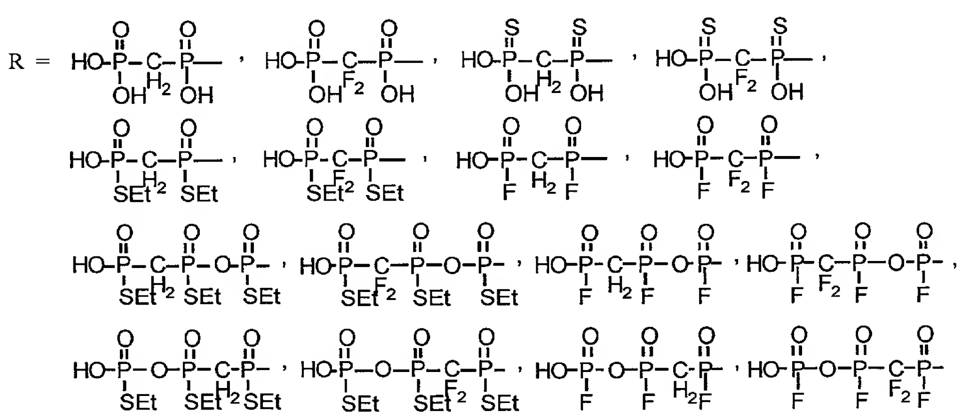
Synthesis of enzymatically stable analogues of nucleoside 5'-di- and 5'-triphosphates as potential anti-HBV and anti-HCV agents.

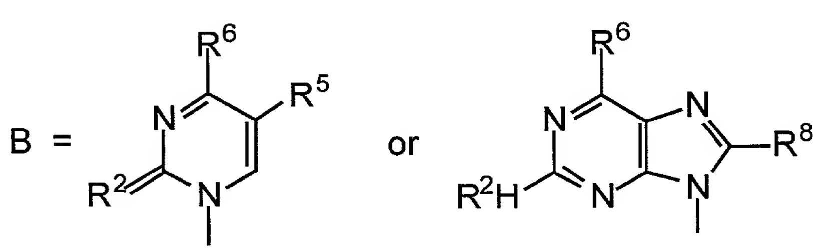
It is generally known in the art that certain inactive nucleosides become active against the reverse transcriptase of HIV when they are chemically converted into their corresponding 5'-triphosphates. For example, 3'-O-methylthymidine is inactive against HIV while its chemically synthesized 5'-triphosphate is an exceptionally potent inhibitor of HIV reverse transcriptase. This invention deals with the synthesis of methylenebis(phosphonate) based analogues of nucleoside 5'-di- and 5'-triphosphates. The rationale is based on the fact that a synthetic analogue of the important coenzyme, nicotinamide-adenine dinucleotide (NAD) in which the P-O-P is replaced by P-CH_2-P is reported to enter the cells. The phosphonate analogues, especially the P-F substituted analogues (Presentation 7) are less polar and less ionic than their parent di- or tri-phosphates. They therefore enter the cells relatively with ease. Several attempts have been made to develop prodrugs of nucleoside phosphates. However, the strategy of this invention is rather different than others, because the synthetic targets of this invention are not prodrugs but analogues of nucleoside di- and tri-phosphates which are not susceptible to enzymatic hydrolysis.

Presentation 7

3'-hydroxymethyl nucleosides and analogues 2'-hydroxymethyl nucleosides and analogues

3'-hydroxymethyl nucleosides and analogues 2'-hydroxymethyl nucleosides and analogues



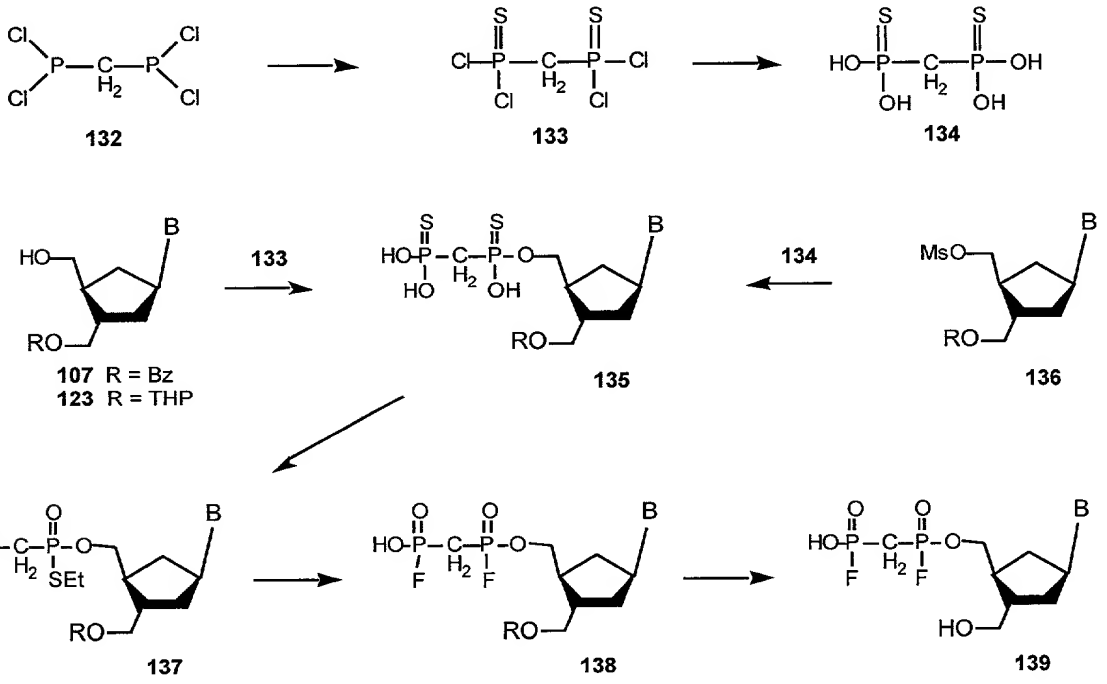


wherein X, R^2, R^5, R^6 and R^8 are as defined previously herein.

Scheme 19 illustrates the synthesis of P-F substituted dinucleotide analogues. Addition of sulfur to the known methylenediphosphite tetrachloride (**132**) produces dithiomethylenebis-(phosphonate) tetrachloride (**133**), which is hydrolyzed to give **134**.

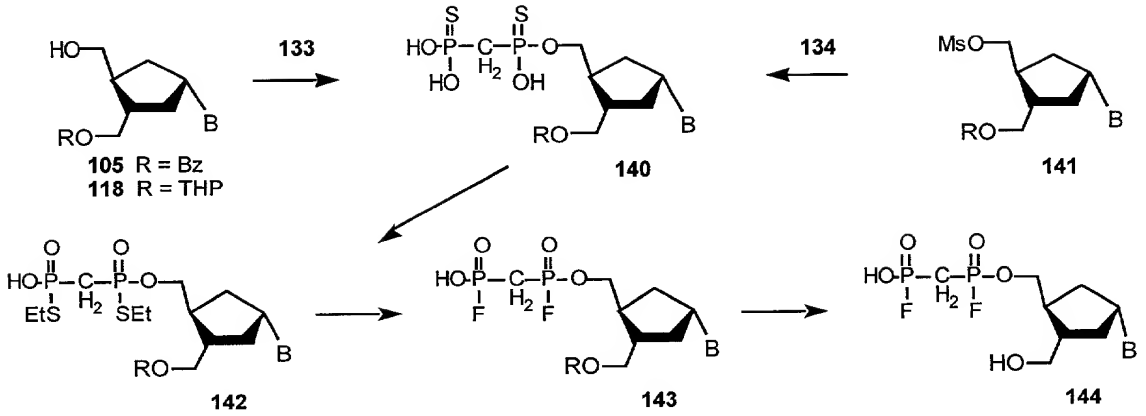
Treatment of **107** or **123** with **133** under Yoshikawa-Roeschler's conditions gives the corresponding P[1]-nucleoside-5'-yl-methylenebis-(thiophosphonate)s **135**. Pyrimidine nucleoside **107** is converted into the 5'-sulfonyl derivative **136**. When **136** is treated with the tris(tetrabutylammonium) salt of **134** in a polar solvent, such as dimethylsulfoxide gives the corresponding **135**. S-Alkylation of **135** to **137**, followed by treatment with fluoride (Bu_4NF, DAST) gives the protected fluorine substituted derivative **138**. After mild deprotection of **138**, the desired nucleotide analogue **139** is obtained. These compounds are stable (Sund and Chattopadhyaya, Tetrahedron, 1989, 45:7523; Dabkowski *et al.*, J. Chem. Soc., Perkin Trans. I., 1992, 1447).

Scheme 19



In a similar manner, the α-nucleoside 5'-triphosphate counterparts **144** are prepared starting from **105** and **118** (Scheme 20) or their 5'-O-mesylate **141** *via* the thiophosphonate **140**, S-ethyl **142** and the protected P-F intermediates **143**.

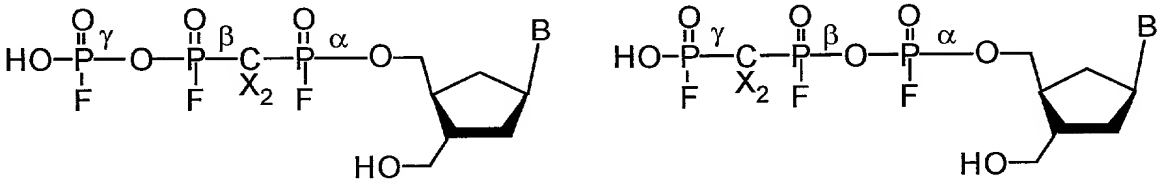
Scheme 20



Synthesis of nucleoside 5'-triphosphate analogues.

Two types of triphosphate analogues are synthesized in the present invention. As shown in Presentation 8, one type contains an oxygen between α and β phosphorus, and the other between β and γ phosphorus atoms.

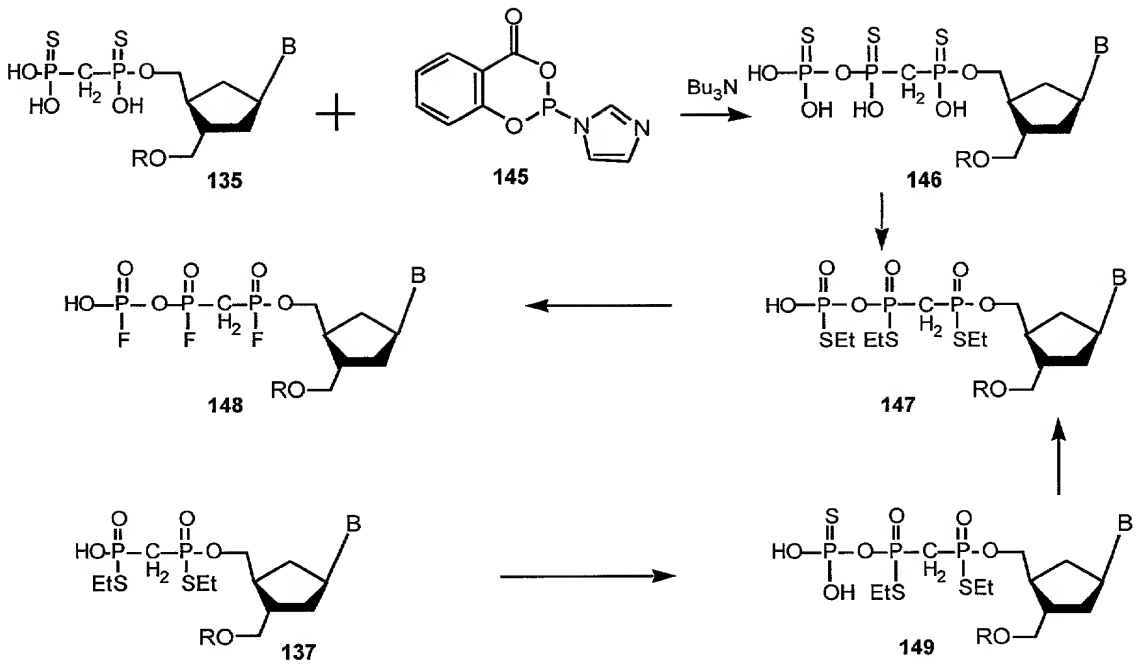
Presentation 8



[P-O-P-C-P-N] type **[P-C-P-O-P-N]** type

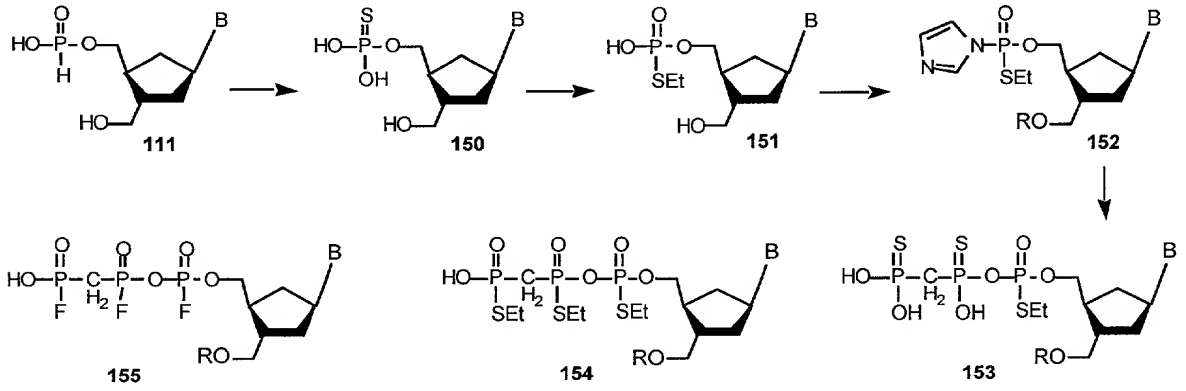
The starting material for nucleoside triphosphate analogues of [P-O-P-C-P-N] type is **135** (Scheme 21), which is converted into its bis(tetrabutylammonium) salt. Treatment of the salt with 2-(imidazol-1-yl)-4-H-1,3,2-benzodioxaphosphorin-4-one (**145**), followed by sulfurization gives the corresponding P^1-(nucleosid-5-yl)-P^2-(thiophosphoryl)methylenebis(dithiophosphonate)s **146**. S-Ethylation of **146** with ethyl iodide and potassium carbonate in aqueous solution affords the tri-S-ethyl ester **147**, which is treated with DAST to give **148**. Alternatively, **137** is directly treated with **146**, followed by sulfurization, to give rise to **149**. S-Ethylation, followed by DAST treatment affords the desired P-F compound **148**.

Scheme 21



For the synthesis of [P-C-P-O-P-N] type, nucleoside 5'-H-phosphonate, such as **111**, serves as the intermediate. Compound **111** is converted into 5'-thiophosphate **150** (Scheme 22) by methods known in the art. After mild alkylation of **150** with ethyl iodide, the product **151** is converted into imidazolide **152**. Treatment of **152** with **134** gives **153**, which, after S-alkylation to **154**, is converted into the desired triphosphate analogue **155**.

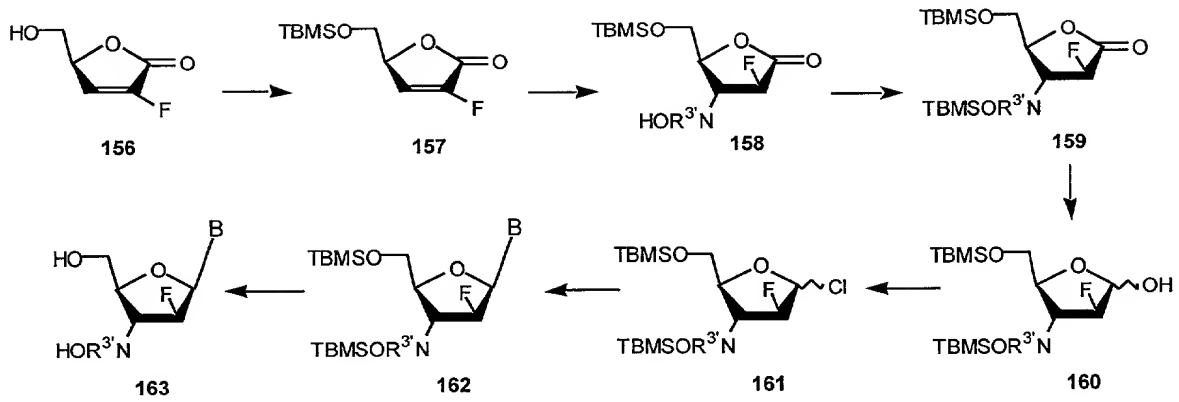
Scheme 22



In a similar manner, purine nucleoside triphosphate analogues (both α-D, β-D, α-L and β-L) are synthesized.

In the general formulas [**I** - **IV**], wherein X = O, Y = NR and Z = OH, 3'-deoxy-3'-hydroxymethylthymidine (B = thymine, Y = NH) has been synthesized (Schreiber and Ikemoto, Tetrahedron Letters, 1988, 29:3211). Other nucleosides of this type are prepared in a similar manner. In the present invention, special attention is focused to prepare 2'-β-fluorinated derivatives based on our recent development of a method of stereoselective addition of hydroxylamine derivatives to 2-substituted alkenoates (Zhao *et al.*, J. Am. Chem. Soc., 1999, 12:2456; J. Org. Chem., 1999, 64, 4). Thus, butenolide **156** (Scheme 23) is protected with a base-stable group, such as *t*-butyldimethylsilyl (TBMS), *t*-butyldiphenylsilyl (TPMS) or tetrahydropyran (THP), to **157**. Treatment of **157** with N-substituted hydroxylamine results in exclusive formation of the arabino compound **158**, as the nucleophile attacks the least hindered α-face of **157**. The *N*-hydroxyl group of **158** is protected with TBSCl in dry DMF to give lactone **159**, which is reduced with DIBAL in methylene chloride to the corresponding lactol **160**. The acetate derivative of **160** does not give the coupling product with silylated bases under Vorbruggen's conditions using TMSOTf as a catalyst. However, glycosyl chloride **161**, which is easily prepared by employing mesyl chloride in the presence of trialkylamines (1 equiv. of triethylamine and a catalytic amount of tributylamine), is found to be coupled with silylated pyrimidine bases in an inert solvent, such as methylene chloride, chloroform, dichloroethane, tetrachloroethane, acetonitrile, dimethylformamide or a like, at temperature of from 25 °C to 115 °C, preferably between 40 °C and 65 °C. Protected nucleosides **162** are generally obtained as an anomeric mixture (α:β = ~1:1) in the range of overall 65-70% yields from lactone **159**. The two protecting groups are removed to give free nucleoside **163**. When these protecting groups are TBMS, they are removed by treatment with tetrabutylammonium fluoride TBAF in dry THF. The α and β anomers are separated by chromatography, and the anomeric configuration of those nucleosides are determined by a well-established rule about the chemical shift of H-4'.

Scheme 23

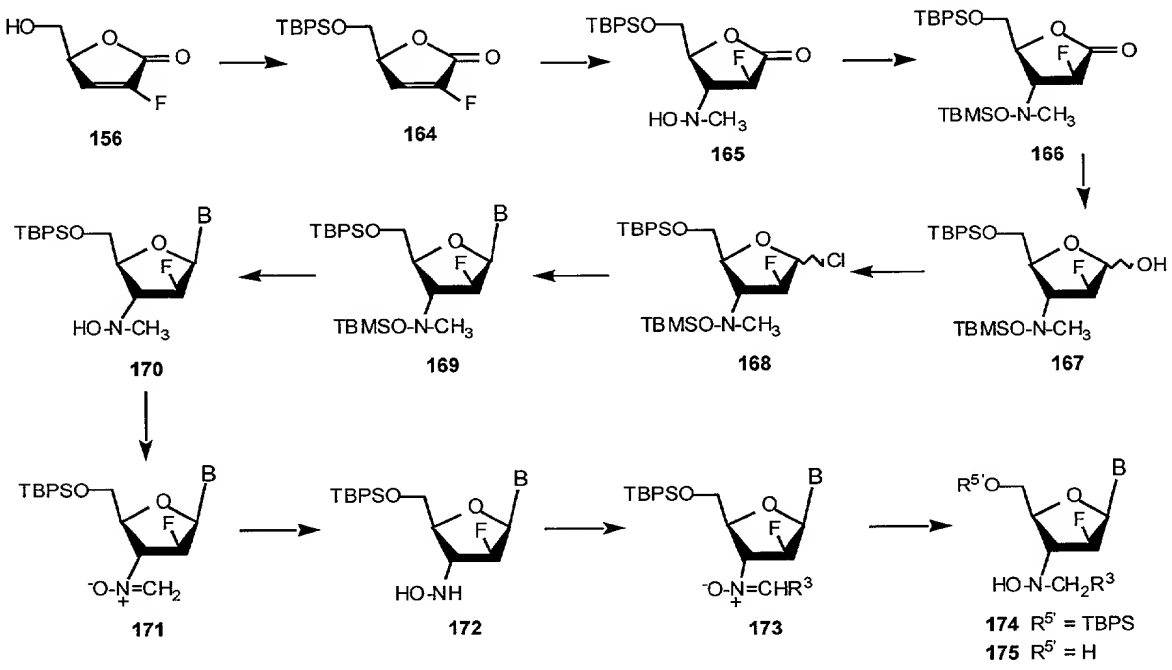


This invention further includes a new and convenient method for making libraries of 3'-N-substituted hydroxylamino-nucleosides of **163**. For this purpose, **156** is converted into *t*-butyldiphenyl-silyl (TBPS) protected derivative **164** (Scheme 24) as a readily available common intermediate instead of **157** for the purpose of selective desilylation later in our synthetic route. The concerted addition of N-methylhydroxylamine to **164** under the aforementioned conditions affords adduct **165** as a single isomer, which is converted into glycosyl chloride **168** after successive TBMS-protection to **166**, DIBAL reduction to **167**, and MsCl chlorination. Intermediate **168** is then reacted with silylated pyrimidines to form protected nucleosides **169** usually as a mixture of anomeric isomers (about 1:1). The *O*-TBMS group is selectively removed by the use of 48% HF in acetonitrile in nearly quantitative yields to give hydroxylamines **170**.

The unique property of hydroxylamine allows the potential replacement of N-methyl functionality, which is an unusual nitrogen-protecting moiety, by other N-alkyl groups. Thus, nucleosides of type **170** are oxidized with an oxidizing agent, such as yellow mercury (II) oxide or DDQ, preferably DDQ to give the corresponding nitrone intermediates **171**, in which the N-methyl group of **170** is selectively converted N-methylene. Hydroxylamine is used to efficiently remove the N-methylene group of the nitrones **171** by exchange reactions in an alkanol, such as methanol, ethanol, n-propanol or isopropanol, preferably methanol at a temperature of from 25 °C to 100 °C, preferably 40 - 50 °C to give key intermediates **172**. Aliphatic nitrones are easily obtained by coupling of **172** with different carboxaldehydes, including butyraldehyde, isobutyraldehyde and cyclohexanecarboxaldehyde in alkanol, such

as methanol, ethanol, n-propanol or isopropanol or the like, preferably methanol, to give nitrones **173**. The corresponding nitrones are then subjected to the *in situ* reductions by $NaBH_3CN$ to N-alkyl products **174** and overall yields are in the range of 65-93% for the last two steps. The desired nucleosides **175** are finally obtained by the treatment of TBAF in dry THF in 70-96% yields.

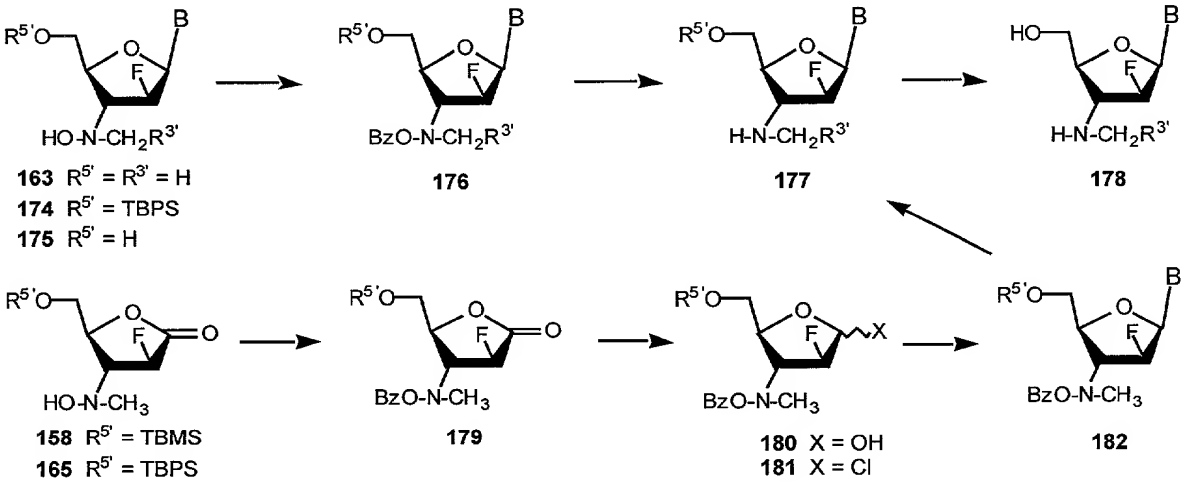
Scheme 24



The present invention also includes general methods for stereoselective synthesis of 2'-fluoro-3'-alkylamino-arabinofuranosyl nucleosides by exploitation of the novel hydroxylamine chemistry developed during this invention. Unfortunately, 3'-N-substituted hydroxylamino nucleosides **163**, **174** and **175** do not undergo catalytic hydrogenolysis to the corresponding amines. However, when their N-hydroxyl is acylated with a group, such as acetyl, benzoyl, toluoyl, or anisoyl or the like, preferably benzoyl, by treatment with acyl halide, preferably benzoyl chloride, in organic base, such as pyridine or triethylamine or a like, preferably pyridine, the product **176** (Scheme 25) is readily converted into the corresponding N-substituted amino derivatives **177** in high yields by catalytic hydrogenation over 5% Pd-C in alkanol, such as methanol, ethanol, n-propanol or isopropanol, at

temperature from 0 °C to 100 °C, preferably from 20 °C to 50 °C under a pressure of from 1 to 5 atms, preferably about 1 atm. Deprotection of **177** by treatment with TBAF in THF for 5'-O-TBPS and by saponification for 5'-O-benzoyl protecting group furnishes 3'-(N-substituted amino)-2'-fluoro-2',3'-dideoxy-arabinofuranosyl nucleosides **178**. Alternatively, **158** or **165** is acylated, preferably benzoylated, to **179**, which is converted into glycosyl halide **181** by way of DIBAL reduction to **180** and sulfonyl halide treatment. After condensation of **181** with silylated bases, the benzoyl protected nucleosides **182** are obtained. In particular, thymidine analogue (**182**, B = thymine) is formed as an anomeric mixture in an α/β ratio of 2:3, while only the desired β-isomer is produced for cytosine derivative (**182**, B = cytosine). Compounds **182** are subjected to hydrogenation in the presence of 5% Pd-C to cleave the N-OBz bond. Compounds **177** ($R^{3'} = CH_3$) are obtained in very high yields and converted to final nucleosides **178** after treatment with TBAF in THF also in high yields.

Scheme 25



Biological Testing

Cell culture assay for activity of anti HBV compounds

We follow the protocol described by Korba and Gerin(Antiviral Res., 1992, 19:55) and Pai et al. (Antimicrobial Agents Chemother., 1996, 40:380) which is used widely in the field. The HepG 2 2.2.15 cells contain a stably integrated HBV genome, the transcript from

which serves as a template for viral replication. The cells produce virions which are elaborated into the medium. The production of the virion DNA is monitored by analysis of medium by Southern blotting. Drugs which inhibit viral replication reduce the levels of virion DNA in the medium, relative to controls.

For anti-HBV activity program, three cell culture based assays are used for determining the spectrum of antiviral activity and cytotoxicity of novel nucleosides. Two of these assays, the 2.2.15 cell line and the AD38 cell line, allow for the testing against wild type or nucleoside susceptible virus. The third assay, the AD79 cell line, can test for activity against a known mutant virus with resistance to certain nucleoside analogues such as 3TC (lamivudine). Parallel testing in various cell lines allows for a preliminary assessment of the toxicity of the test compounds. Those compounds that demonstrate anti-HBV activity in cell culture without cytotoxicity are candidates for further testing in animal models.

Protocol

For HepG 2.2.15 cells:

Confluent cells in 24 well tissue culture dishes are treated with test compounds starting at 1nM and extending logarithmically to 10 μM (1 nM, 3.2, 10 nM, 32, 100 nM, 1 μM, 10 μM 100 μM). Medium is changed daily every 3 days and DNA extracted from the 3, 6, and 10 9 day samples. The virions are concentrated by polyethylene glycol precipitation (equal volume addition of PEG 8000). After cooling on ice the samples are spun and the pellets treated with SDS and Proteinase K followed by Phenol:Chloroform extraction and ethanol precipitation of the DNA. The DNA is analyzed for HBV sequences by Southern hybridization. The probe used for the analysis is a ^{32}P labeled Eco RI fragment from the HBV clone described by Korba *et al.* 3TC is used as a positive control compound with known activity against HBV.

This assay reflects the amount of viral DNA in the medium. For compounds which are proven to be effective inhibitors against HBV as compared to 3TC the analysis is extended to the viral DNA forms present inside cells. This is done by DNA extraction from cells, followed by electrophoresis and blotting. Analysis of the Southern blot shows the various replicative intermediates and indicates which stage of replication is blocked.

For AD38 and AD79 cells:

Antiviral activity in AD38 and AD79 cells was determined as described by Ladner et al. (Antivir. Chem. Chemother., 1997, 41:1715). Briefly, cells were plated into a 96-well microtiter plate in the presence of 0.3 μg/ml tetracycline. After three days, the cell monolayers were washed several times with warmed PBS and treated with medium containing various concentrations of inhibitor. At 3 and 6 days after commencement of drug treatment, the cells were washed with PBS and treated with fresh medium containing inhibitor. At 7 days after commencement of drug treatment, the medium was collected from the wells and clarified by centrifugation. The clarified supernatant fluids were assayed for the presence of HBV DNA by dot blot hybridization and phosphorimager analysis. The concentration of compound that reduced the amount of HBV DNA by 50 or 90% (EC_{50} and EC_{90}, respectively) was determined by linear regression analysis of the data.

For Cytotoxicity:

We also perform toxicity assays by measuring the inhibition of uptake of neutral red dye by treated cells. These assays are done in 96 well plates. After the standard 10 day treatment regimen medium is removed and PBS containing MTS dye added to each well. After a 2 hour incubation the absorbance of the metabolized product is measured at 490 nm.

Animal Tests

Compounds can be tested in an HBV-transgenic SCID mouse model and determine the in vivo potency and tolerance of the compounds. Additional testing in woodchuck hepatitis model is also available. Compounds that demonstrate both in vitro and in vivo anti-HBV activity are candidates for further preclinical development. The compounds (chosen on the basis of activity determined in the in vitro assay) are tested in the woodchuck model.

Briefly, woodchucks, chronically infected with woodchuck hepatitis virus, are administered compounds intraperitoneally for 14 days. In separate trials, compounds are administered orally. The effect on virus production is monitored by analysis of serum samples for viral DNA and viral surface antigen. Levels of viral DNA are also determined in liver biopsies. Additionally, compounds are tested in chimpanzees infected with HCV for their effect on virus production and clinical hepatitis. Briefly, chimpanzees previously infected with HCV are tested orally with compound for 7-28 days. HCV RNA in blood is

measured and liver biopsies are analyzed. Also, SCID mice transfected with human PBMC and infected with HIV can be used to test the anti-HIV activity of compounds.

Hepatitis D Methodology

Hepatitis D virus infection can be studied in the chimpanzee woodchucks and Pekin ducks using procedures well known in the art.

For Anti HIV Evaluation

Human PBM cells from healthy donors, seronegative for HIV-1 and HBV, are isolated by a single-step Ficoll-Hypaque discontinuous gradient centrifugation and propagated as described previously (Schinazi et al., Antimicrobial Agents Chemother., 1990, 34:1061; 1992, 36:2423; 1993, 37:875). Compounds are screened for activity against HIV-1 and cytotoxicity by a protocol reported previously reported by Schinazi, *et al.* The prototype strain of HIV-1LAI obtained from the Centers for Disease Control and Prevention, Atlanta, GA, is used as the standard virus for the studies in human PBM cells.

EXAMPLES

EXAMPLE 1

5-*O*-[*tert*-Butyldimethylsilyl-2,3-dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-methylamino)]-arabino-1,4-lactone (158, $R^{3'}$ = H**):** To a solution of *N*-methylhydroxylamine hydrochloride (12.53 g, 150 mmol) in ethanol (300 mL) is added NaOEt (10.20 g, 150 mmol) portionwise. The resulting mixture is stirred at room temperature for 10 minutes and 2-fluorobutenolide **157** (7.38 g, 30 mmol) is then added. The resulting solution is refluxed for 5 hours and then concentrated under reduced pressure. 100 mL of water is added to the residue and the resulting solution is extracted with methylene chloride. The organic layer is washed with brine, dried over Na_2SO_4, filtrated, and concentrated. The residue is purified by flash column chromatography over silica gel eluting with 25% EtOAc/petroleum ether to give lactone **158** (6.08 g, 69%) as an oil. ^{1}H NMR ($CDCl_3$) δ 6.30 (bs, 1H, OH), 5.53 (dd, J = 7.2 and 51.4 Hz, 1H, H-2), 4.51 (dt, J = 7.2 and 2.4 Hz, 1H, H-4), 3.85 (m, 3H, H-3 and H-5), 2.78 (s, 3H, NCH_3), 0.89 (s, 9H, *t*-Bu), 0.08 (s, 6H, $2SiCH_3$). ^{13}C NMR ($CDCl_3$) δ 88.1, 84.3, 79.4, 79.3, 69.2, 68.8, 62.4, 47.5, 26.3, -4.8, -4.9. Anal. Calcd for $C_{12}H_{24}FNO_4Si$: C, 49.12; H, 8.24; N, 4.77. Found: C, 49.23; H, 8.30; N, 4.81.

EXAMPLE 2

5-*O*-{*tert*-Butyldimethylsilyl-2,3-dideoxy-2-fluoro-3-[*N*-(*tert*-butyldimethylsilyloxy)-*N*-methylamino]}-arabino-1,4-lactone (159): A mixture of **158** (5.50 g, 18.7 mmol), TBSCl (3.10 g, 20.6 mmol) and imidazole (2.80 g, 41.2 mmol) in anhydrous DMF (90 mL) is stirred under argon atmosphere at room temperature overnight. After addition of water, the resulting mixture is extracted with methylene chloride. The organic layer is washed with brine, dried over Na_2SO_4, filtrated, and concentrated. The residue is purified with flash column chromatography over silica gel eluting with 5% EtOAc/petroleum ether to give compound **3** (7.10 g, 93%) as an oil. ^{1}H NMR ($CDCl_3$) δ 5.50 (br, 1H, H-2), 4.38 (bs, 1H, H-4), 3.80 (m, 3H, H-3 and H-5), 2.69 (s, 3H, NCH_3), 0.85 (s, 18H, 2*t*-Bu), 0.08 (m, 12H, 4$SiCH_3$). ^{13}C NMR ($CDCl_3$) δ 78.6, 78.5, 70.1, 69.7, 61.1, 47.7, 26.4, 26.3, 18.8, 18.2, -3.9, -4.1, -4.8, -4.9.

EXAMPLE 3

5-*O*-{*tert*-Butyldimethylsilyl-2,3-dideoxy-2-fluoro-3-[*N*-(*tert*-butyldimethylsilyloxy)-*N*-methylamino]}-arabino-1,4-lactol (160): To a solution of compound **159** (5.45 g, 13.5 mmol) in dry CH_2Cl_2 (80 mL) at -78^{0}C is added DIBAL (14.9 mL, 1.0 M in hexane) in an argon atmosphere. The reaction mixture is stirred at -78^{0}C for 1 hour, and quenched with methanol (10 mL) and followed by saturated NH_4Cl. After the resulting solution is warmed to room temperature, solid materials are removed by filtration over Celite and the filtrate is extracted with methylene chloride. The organic layer is washed with brine, dried over Na_2SO_4, filtrated, and concentrated to give the crude lactol **160** (5.07 g) as a colorless oil which was directly used in the next step without further purification.

EXAMPLE 4

General procedure for synthesis of 5'-*O*-*tert*-butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-D-arabinofuranosyl nucleosides (162): To a solution of crude lactol **160** (0.409 g, 1 mmol), Et_3N (0.162 g, 1.6 mmol) and *n*-Bu_3N (0.037 g, 0.2 mmol) in dry CH_2Cl_2 (1 mL) at -40^{0}C is added MsCl (0.149 g, 1.3 mmol) dropwise in an argon atmosphere. After stirring at room temperature for 1 hour, the resulting mixture is diluted with methylene chloride, washed with water, brine,

dried over Na_2SO_4, filtrated, and concentrated to give crude chloro sugar **161** as a yellowish oil which is used in glycosylation without further purification.

A mixture of TMS-protected base (3 mmol) and the crude chloro sugar **161** in ethanol free chloroform (5 mL) is refluxed overnight. After the addition of water, the resulting mixture is extracted with methylene chloride. The organic layer is washed with brine, dried over Na_2SO_4, filtrated, and concentrated. The residue is purified on a silica gel column eluting with 25-50% EtOAc/petroleum ether to give the protected nucleosides **162.**

{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-D-arabinofuranosyl}uracil (162, B = uracil): The uridine derivative (68% total) **162** (B = U) is obtained as an anomeric mixture, which is partially separated by silica gel column chromatography as white solids. **162β (B = uracil):** ^{1}H NMR ($CDCl_3$) δ 10.00 (bs, 1H, NH), 7.63 (d, J = 7.3 Hz, 1H, H-6), 6.09 (dd, J = 3.7 and 17.6 Hz, 1H, H-1'), 5.66 (d, J = 8.1 Hz, 1H, H-5), 5.55 (br, 1H, H-2'), 3.80 (m, 3H, H-4' and H-5'), 3.50 (dd, J = 5.1 and 26.3 Hz, 1H, H-3'), 2.69 (s, 3H, NCH_3), 0.88 (s, 18H, 2*t*-Bu), 0.15 (m, 12H, $4SiCH_3$). ^{13}C NMR ($CDCl_3$) δ 164.1, 150.7, 141.8, 101.9, 85.1, 84.9, 74.5, 74.1, 62.8, 47.7, 26.5, 26.4, 18.8, 18.2, -3.8, -4.0, -4.8, -4.9. **162a (B = U):** ^{1}H NMR ($CDCl_3$) δ 9.50 (s, 1H, NH), 7.35 (d, J = 8.1 Hz, 1H, H-6), 6.17 (dd, J = 3.9 and 14.6 Hz, 1H, H-1'), 5.75 (d, J = 8.1 Hz, 1H, H-5), 5.55 (br, 1H, H-2'), 4.23 (bs, 1H, H-4'), 3.88 (dd, J = 2.6 and 11.4 Hz, 1H, H-5'), 3.74 (dd, J = 3.4 and 11.4 Hz, 1H, H-5'), 3.62 (dt, J = 5.4 and 25.0 Hz, 1H, H-3'), 2.68 (s, 3H, NCH_3), 0.90 (s, 9H, *t*-Bu), 0.87 (s, 9H, *t*-Bu), 0.15 (m, 12H, $4SiCH_3$). ^{13}C NMR ($CDCl_3$) δ 163.8, 150.7, 139.8, 103.3, 90.2, 89.8, 82.5, 82.4, 74.4, 74.0, 47.9, 26.5, 26.4, 18.9, 18.2, -3.9, -4.0, -4.7, -4.8.

In a similar manner but using the corresponding silyated bases, the following nucleosides are prepared:

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-1-butyldimethylsiloxy)-*N*-1-methylamino]-β-D-arabinofuranosyl}thymine ,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-fluorouracil,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-chlorouracil,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-bromouracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-iodouracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-ethyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-(E-2-bromovinyl)uracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-vinyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-carboxyuracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-ethoxycarbonyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β–D-arabinofuranosyl}-5-aminocarbonyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-cyanouracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-phenyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-benzyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}cytosine,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-fluorocytosine,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β–D-arabinofuranosyl}-5-chlorocytosine,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-bromocytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-iodocytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-ethylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-vinylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-carboxycytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-ethoxycarbonylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-cyanocytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-phenylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-benzylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}thymine ,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-fluorouracil,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-chlorouracil,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-bromouracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-iodouracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-ethyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)uracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-vinyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-carboxyuracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-ethoxycarbonyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α–D-arabinofuranosyl}-5-aminocarbonyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-cyanouracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-phenyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-benzyluracil,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}cytosine,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-fluorocytosine,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α–D-arabinofuranosyl}-5-chlorocytosine,

1-{5'-*O*-*tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O*-*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-bromocytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-iodocytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-ethylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-*n*-propylcyosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-ethoxycarbonylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*Otert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{5'-*O-tert*-Butyldimethylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*O-tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-benzylcytosine,

EXAMPLE 5

2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-D-arabinofuranosyl nucleosides (163): Protected nucleosides **162** are separately treated with TBAF (2.5 eq) in dry THF. After stirring at room temperature for 2 hours, the resulting mixture is directly transferred to silica gel column for purification without evaporation.

[2,3-Dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-methylamino)-D-arabinofuranosyl]-5-fluorouracil (163, B = FU): An anomieric mixture of **163** (**B = 5-fluorouracil**) is obtained

from as white solids (99%) from the corresponding **162**. The anoners are partially separated by flash column chromatography over silica gel. **163β:** ^{1}H NMR (CD_3OD) δ 8.08 (dd, J = 1.8 and 6.9 Hz, 1H, H-6), 6.04 (ddd, J = 1.6, 3.9 and 18.0 Hz, 1H, H-1'), 5.45 (dt, J = 1.8 and 52.8 Hz, 1H, H-2'), 4.15 (m, 1H, H-4'), 3.92 (dd, J = 2.8 and 12.4 Hz, 1H, H-5'), 3.76 (dd, J = 4.4 and 12.4 Hz, 1H, H-5'), 3.48 (ddd, J = 1.7, 6.2 and 27.1 Hz, 1H, H-3'), 2.72 (s, 3H, NCH_3). ^{13}C NMR (CD_3OD) δ 150.7, 143.8, 139.2, 128.1, 127.4, 95.1, 91.4, 86.5, 86.2, 81.5, 74.6, 74.1, 63.1, 47.4. Anal. Calcd for $C_{10}H_{13}F_2N_3O_5 \cdot 0.6\ H_2O$: C, 39.50; H, 4.71; N, 13.82. Found: C, 39.23; H, 4.70; N, 13.99. **163α:** ^{1}H NMR (CD_3OD) δ 7.87 (d, J = 6.6 Hz, 1H, H-6), 6.10 (dt, J = 1.6 and15.8 H, 1H, H-1'), 5.46 (dt, J = 2.3 and 50.9 Hz, 1H, H-2'), 4.51 (m, 1H, H-4'), 3.80 (dd, J = 4.0 and 11.8 Hz, 1H, H-5'), 3.70 (dd, J = 5.3 and 12.1 Hz, 1H, H-5'), 3.43 (ddd, J = 2.6, 5.1 and 24.5 Hz, 1H, H-3'), 2.70 (s, 3H, NCH_3). ^{13}C NMR (CD_3OD) δ 163.6, 151.0, 144.2, 139.6, 126.9, 126.2, 100.2, 96.5, 92.8, 92.0, 86.0, 75.7, 75.3, 64.2, 47.6. Anal. Calcd for $C_{10}H_{13}F_2N_3O_5 \cdot 0.2\ H_2O$: C, 40.46; H, 4.55; N, 14.16. Found: C, 40.61; H, 4.37; N, 14.43.

In a similar manner but using the corresponding silyated bases, the following nucleosides are prepared:

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]thymine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)- β-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)- β-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)- β-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-(E-2-bromo-vinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-β-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-β-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-β -D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-β-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-β-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-β-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-β-D-arabinofuranosyl]-5-ethoxycarbonyl-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-β-D-arabinofuranosyl]-5-aminocarbonyl-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-β-D-arabinofuranosyl]-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]thymine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-fluorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyl-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-aminocarbonyl-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl}-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabnofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arbinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-rabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyl-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-α-D-arabinofuranosyl]-5-aminocarbonyl-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-benzylcytosine.

EXAMPLE 6

5--[*tert*-Butyldiphenylsilyl-2,3-dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-methylamino)]-arabino-1,4-lactone (164): In a similar manner to prepare compound **157**, compound **164** is prepared from **156** as an oil (65% yield). ^{1}H NMR ($CDCl_3$) δ 7.69 (m, 4H, arom.), 7.42 (m, 6H, arom.), 5.92 (bs, 1H, OH), 5.57 (dd, J = 7.3 and 50.9 Hz, 1H, H-2), 4.51 (m, 1H, H-4), 3.95 (m, 3H, H-3 and H-5), 2.78 (s, 3H, NCH_3), 1.08 (s, 9H, *t*-Bu). ^{13}C NMR ($CDCl_3$) δ 170.4, 170.0, 136.2, 136.0, 133., 132.9, 130.4, 128.3, 88.1, 84.2, 79.3, 79.1, 69.4, 69.1, 63.3, 47.5, 27.3, 19.9.

EXAMPLE 7

5-*O*-{*tert*-Butyldiphenylsilyl-2,3-dideoxy-2-fluoro-3-[*N*-(*tert*-butyldimethylsilyloxy)-*N*-methylamino]}-arabino-1,4-lactone (166): In a similar manner to prepare compound **157**, compound **166** is prepared from **164** as an oil (89% yield). ^{1}H NMR ($CDCl_3$) δ 7.71 (m, 4H, arom.), 7.45 (m, 6H, arom.), 4.47 (bs, 1H, H-4), 3.95 (m, 3H, H-3 and H-5), 2.72 (s, 3H, NCH_3), 1.09 (s, 9H, *t*-Bu), 0.90 (s, 9H, *t*-Bu), 0.12 (m, 6H,

2SiCH$_3$). ^{13}C NMR ($CDCl_3$) δ 170.4, 170.0, 136.2, 36.0, 133.3, 132.9, 130.4, 128.3, 78.7, 78.6, 70.4, 70.0, 62.5, 47.8, 27.3, 26.5, 19.9, 18.3, -3.9, -4.0.

EXAMPLE 8

{5-*O*-*tert*-Butyldiphenylsilyl-2,3-dideoxy-2-fluoro-3-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-D-arabinofuranosyl}uracil (169, B = uracil): In a similar manner to prepare compounds **160**, compound **169** (B = uracil) is prepared from **166** (3 steps) as an anomeric mixture which is separated by silica gel column chromatography as white solids (68% from **166**). **β-anomer:** ^{1}H NMR ($CDCl_3$) δ 10.12 (bs, 1H, NH), 7.70 (m, 4H, arom.), 7.45 (m, 7H, arom. and H-6), 6.12 (dd, J = 4.0 and 18.7 Hz, 1H, H-1'), 5.58 (d, J = 8.4 Hz, 1H, H-5), 3.95 (m, 3H, H-4' and H-5'), 3.63 (dd, J = 5.6 and 26.3 Hz, 1H, H-3'), 2.69 (s, 3H, NCH_3), 1.11(s, 9H, *t*-Bu), 0.93 (s, 9H, *t*-Bu), 0.18 (m, 6H, 2SiCH$_3$). ^{13}C NMR ($CDCl_3$) δ 164.2, 150.9, 141.8, 136.1, 136.0, 133.5, 133.3, 130.4, 128.3, 102.0, 85.2, 84.9, 74.8, 74.3, 47.8, 47.7, 27.4, 26.6, 19.9, 19.3, -3.7, -4.0. **α-anomer:** ^{1}H NMR ($CDCl_3$) δ 9.88 (s, 1H, NH), 7.71 (m, 4H, arom.), 7.42 (m, 7H, arom. and H-6), 6.25 (dd, J = 3.7 and 14.6 Hz, 1H, H-1'), 5.78 (d, J = 8.0 Hz, 1H, H-5), 4.32 (bs, 1H, H-4'), 3.89 (m, 2H, H-5'), 3.68 (dd, J = 4.3 and 6.4 Hz, 1H, H-3'), 2.65 (s, 3H, NCH_3), 1.12 (s, 9H, *t*-Bu), 0.88 (s, 9H, *t*-Bu), 0.12 (m, 6H, 2SiCH$_3$). ^{13}C NMR ($CDCl_3$) δ 163.9, 150.8, 139.9, 136.1, 136.0, 133.5, 133.3, 130.3, 128.3, 103.3, 90.3, 89.6, 82.6, 82.4, 74.6, 74.2, 64.8, 47.9, 27.4, 26.6, 26.5, 19.9, 18.3, -3.9.

In a similar manner but using the corresponding silyated bases, the following nucleosides are prepared:

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}thymine ,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-fluorouracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-chlorouracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-bromouracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-iodouracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-ethyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-(E-2-bromovinyl)uracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-vinyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-carboxyuracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-ethoxycarbonyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-aminocarbonyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-cyanouracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-phenyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-benzyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}cytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-fluorocytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-bromocytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-iodocytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-ethylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-vinylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-carboxycytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-ethoxycarbonylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-cyanocytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-phenylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-β-D-arabinofuranosyl}-5-benzylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}thymine ,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-fluorouracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-chlorouracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-bromouracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-iodouracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-ethyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)uracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-vinyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-carboxyuracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-ethoxycarbonyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-aminocarbonyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-cyanouracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-phenyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-benzyluracil,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}cytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-fluorocytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-bromocytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-iodocytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-ethylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-ethoxycarbonylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-[*N*-(*tert*-butyldimethylsiloxy)-*N*-methylamino]-α-D-arabinofuranosyl}-5-benzylcytosine,

EXAMPLE 9

General procedure for synthesis of 5'-*O*-*tert*-butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-D-arabinofuranosyl nucleosides (170): To a solution of **(169)** (1 mmol) in acetonitrile (10 mL) is added 48% HF in water (0.036 mL). The resulting mixture is stirred at room temperature for 1 hour, and then water (10 mL) is added. The resulting solution is extracted with methylene chloride. The organic layer is washed with saturated $NaHCO_3$ and brine, dried over Na_2SO_4, filtrated, concentrated to give the crude products, which are further purified by flash column chromatography over silica gel.

[5-*O*-*tert*-Butyldiphenylsilyl-2,3-dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-fluorouracil (170-b, B = 5-fluorouracil): This compound is prepared from 169 (B = 5-fluorouracil) as white solids (97% total): ^{1}H NMR ($CDCl_3$) δ 7.72 (m, 4H, arom.), 7.40 (m, 7H, arom. and H-6), 6.14 (d, J = 17.7 Hz, 1H, H-1'), 5.49 (dd, J = 1.7 and 52.9 Hz, 1H, H-2'), 4.30 (m, 1H, H-4'), 3.98 (m, 2H, H-5'), 3.65 (dd, J = 5.0 and 26.6 Hz, 1H, H-3'), 2.71 (s, 3H, NCH_3), 1.11(s, 9H, *t*-Bu). ^{13}C NMR ($CDCl_3$) δ 158.3, 157.7, 149.7, 142.9, 138.2, 136.1, 136.0, 133.3, 133.2, 130.5, 128.4, 126.8, 126.1, 94.7, 90.9, 85.6, 85.2, 79.7, 73.7, 73.3, 64.2, 46.8, 27.4, 19.9.

In a similar manner but using the corresponding 3'-(O-*tert*-butyldimethylsilyloxy-N-methylamino) nucleosides, the following nucleosides are prepared:

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]thymine ,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]uracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-chlorouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-bromouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-iodouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)uracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-carboxyuracil,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(N-hydroxy-N-methylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[5'-O-tert-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(N-hydroxy-N-methylamino)-β-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[5'-O-tert-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(N-hydroxy-N-methylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]cytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-chlorocytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-bromocytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-iodocytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-ethylcytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-N-methylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[5'-O-tert-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(N-hydroxy-N-methylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]cytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-chlorocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-bromocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-iodocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-ethylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-vinylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-carboxycytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-cyanocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-phenylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-β-D-arabinofuranosyl]-5-benzylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]thymine ,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-fluorouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-chlorouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-bromouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-iodouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-ethyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)uracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-vinyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-carboxyuracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-cyanouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-phenyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-benzyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]cytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-)*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-fluorocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-chlorocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-bromocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-iodocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-ethylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-vinylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-carboxycytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-cyanocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-phenylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-methylamino)-α-D-arabinofuranosyl]-5-benzylcytosine,

EXAMPLE 10

General procedure for synthesis of 5'-*O-tert*-butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-D-arabinofuranosyl nucleosides (172): To a solution of **170** (1 mmol) in methylene chloride (10 mL) is added a solution of DDQ (0.272 g, 1.2 mmol) in methylene chloride (10 mL) in portion. After the resulting mixture is stirred at room temperature for 30 minutes, it is diluted with methylene chloride (10 mL). The organic solution is washed successively with saturated $NaHCO_3$, brine and water, dried over Na_2SO_4, filtrated, and concentrated to give crude nitrone, which is purified by a short column over silica gel eluting with 10% methanol in methylene chloride.

To the residue nitrone is added methanol (10 mL) and $NH_2OH{\cdot}HCl$ (0.104 g, 1.5 mmol). The resulting mixture is warmed to 50^0C and stirred for 1 hour. After evaporation of methanol, the residue is dissolved in methylene chloride (20 mL). The organic solution is washed with brine, dried over Na_2SO_4, filtrated, and concentrated to give crude product which is purified by flash column chromatography over silica gel.

[5-*O-tert*-Butyldiphenylsilyl-2,3-dideoxy-2-β-fluoro-3-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-fluorouracil (172b, 5-fluorouracil): This is prepared from corresponding **170b** as a white solid (53% for 2 steps): ^1H NMR ($CDCl_3$) δ 7.72-7.31 (m, 12H, arom., H-6, OH), 6.17 (d, J = 18.7 Hz, 1H, H-1'), 5.35 (d, J = 53.0 Hz, 1H, H-2'), 3.95 (m, 4H, H-3', H-4' and H-5'), 1.11(s, 9H, *t*-Bu). ^{13}C NMR ($CDCl_3$) δ 158.2, 157.7, 149.7, 143.0, 138.3, 136.1, 136.0, 133.2, 130.5, 128.4, 126.7, 125.9, 95.5, 91.7, 85.7, 85.5, 80.6, 68.1, 67.6, 64.0, 27.4, 19.8.

In a similar manner but using the corresponding 3'-(N-hydroxy-N-methylamino) nucleosides, the following nucleosides are prepared:

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]- thymine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-uracil,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-chlorouracil,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-bromouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-iodouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)uracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-carboxyuracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-cytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-chlorocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-bromocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-iodocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-ethylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-vinylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-carboxycytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-cyanocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-phenylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-β-D-arabinofuranosyl]-5-benzylcytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]thymine ,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-fluorouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-chlorouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-bromouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-iodouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-ethyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)uracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-vinyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-carboxyuracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-cyanouracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-phenyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-benzyluracil,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]cytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-)*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-fluorocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-chlorocytosine,

1-[5'-*O*-*tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-bromocytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-iodocytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-ethylcytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-vinylcytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-carboxycytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-cyanocytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-phenylcytosine,

1-[5'-*O-tert*-Butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-α-D-arabinofuranosyl]-5-benzylcytosine.

EXAMPLE 11

General procedure for synthesis of 5'-*O-tert*-butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-substituted amino)-D-arabinofuranosyl nucleosides (174): To a solution of **172** (1 eq) in methanol is added an aldehyde (1.2 eq). The resulting mixture is stirred at room temperature for 10 minuntes. $NaBH_3CN$ (2 eq) is then added in portion. The reaction is continuously stirred at room temperature for 10 mininutes. After concentration of the mixture to dryness, the residue is dissolved in methylene chloride. The organic solution is

washed with brine, dried over Na_2SO_4, filtrated, and concentrated to give the crude product which is purified by flash column chromatography over silica gel.

[5-*O*-*tert*-Butyldiphenylsilyl-2,3-dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-butylamino)-β-D-arabinofuranosyl]uracil (174, B = uracil, $R^{3'}$ = *n*-C_3H_7): This compound is prepared from **172 (B = uracil)** as a white solid (93% for 2 steps): ^{1}H NMR ($CDCl_3$) δ 10.58 (bs, 1H, NH), 7.69 (m, 5H, arom. and H-6), 7.40 (m, 6H, arom.), 7.11 (bs, 1H, OH), 6.20 (dd, *J* = 3.4 and 18.5 Hz, 1H, H-1'), 5.56 (d, *J* = 8.2 Hz, 1H, H-5), 5.50 (dd, *J* = 3.2 and 52.7 Hz, 1H, H-2'), 4.28 (m, 1H, H-4'), 3.92 (m, 3H, H-3' and H-5'), 2.81 (m, 2H, NCH_2), 1.67-0.88 (m, 16H, *t*-Bu, CH_3 and $2CH_2$). ^{13}C NMR ($CDCl_3$) δ 164.4, 150.9, 142.3, 136.1, 136.0, 133.6, 133.3, 130.4, 128.3, 112.8, 101.9, 94.6, 90.9, 85.4, 85.0, 79.4, 72.5, 72.0, 64.2, 58.9, 29.6, 27.4, 20.9, 19.9, 14.6.

[5-*O*-*tert*-Butyldiphenylsilyl-2,3-dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-*iso*-butylamino)-β-D-arabinofuranosyl]uracil (174, B = uracil, $R^{3'}$ = *i*-C_3H_7): This compound is prepared from **172 (B = uracil)** as a white solid (92% for 2 steps): ^{1}H NMR ($CDCl_3$) δ 10.16 (bs, 1H, NH), 7.69 (m, 5H, arom. and H-6), 7.41 (m, 6H, arom.), 6.51 (bs, 1H, OH), 6.19 (dd, *J* = 3.2 and 18.7 Hz, 1H, H-1'), 5.58 (d, *J* = 8.1 Hz, 1H, H-5), 5.45 (m, 1H, H-2'), 4.27 (m, 1H, H-4'), 4.04 (dd, *J* = 3.0 and 11.6 Hz, 1H, H-5'), 3.88 (dd, *J* = 3.0 and 11.0 Hz, 1H, H-5'), 3.69 (dd, *J* = 5.0 and 26.4 Hz, 1H, H-3'), 2.55 (d, *J* = 7.0 Hz, 2H, NCH_2), 1.91 (m, 1H, CH), 1.11 (s, 9H, *t*-Bu), 0.94 (dd, *J* = 1.8 and 6.6 Hz, 6H, $2CH_3$). ^{13}C NMR ($CDCl_3$) δ 164.2, 150.8, 142.1, 136.1, 136.0, 133.5, 133.3, 130.5, 130.4, 128.3, 102.0, 94.9, 91.2, 85.5, 85.1, 79.3, 73.2, 72.7, 66.9, 64.3, 27.5, 26.7, 21.3, 19.9.

[5-*O*-*tert*-Butyldiphenylsilyl-2,3-dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-cyclohexylmethylamino)-β-D-arabinofuranosyl]uracil (174, B = uracil, $R^{3'}$ = cyclohexyl): This compound is prepared from **172 (B = uracil)** as a white solid (88% for 2 steps): ^{1}H NMR ($CDCl_3$) δ 9.89 (bs, 1H, NH), 7.53 (m, 11H, arom. and H-6), 6.25 (bs, 1H, OH), 6.17 (dd, *J* = 3.7 and 18.8 Hz, 1H, H-1'), 5.56 (d, *J* = 8.3 Hz, 1H, H-5), 5.42 (m, 1H, H-2'), 4.22 (m, 1H, H-4'), 4.02 (dd, *J* = 3.0 and 11.1 Hz, 1H, H-5'), 3.87 (dd, *J* = 3.3 and 11.3 Hz, 1H, H-5'), 3.69 (dd, *J* = 4.4 and 27.1 Hz, 1H, H-3'), 2.59 (d, *J* = 6.7 Hz, 2H, NCH_2), 1.85-0.79 (m, 11H, CH, $5CH_2$), 1.09(s, 9H, *t*-Bu). ^{13}C NMR ($CDCl_3$) δ 164.1, 150.9, 142.1, 136.1, 136.0, 133.5, 133.3, 130.4, 128.3, 112.8, 102.0, 94.8, 91.0, 85.4, 85.1, 79.3, 73.0, 72.5, 65.8, 64.2, 36.1, 32.2, 27.5, 27.3, 26.6, 19.9.

[5-*O*-*tert*-Butyldiphenylsilyl-2,3-dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-*iso*-butylamino)-β-D-arabinofuranosyl]-5-fluorouracil (174, B = 5-fluorouracil, $R^{3'}$ = *i*-C_3H_7): This compound is prepared from **172 (B = 5-fluorouracil)** as a white solid (65% for 2 steps): ^{1}H NMR ($CDCl_3$) δ 10.34 (bs, 1H, NH), 7.71 (m, 5H, arom. and H-6), 7.43 (m, 6H, arom.), 6.30 (bs, 1H, OH), 6.13 (d, *J* = 18.0 Hz, 1H, H-1'), 5.45 (dd, *J* = 2.4 and 52.1 Hz, 1H, H-2'), 4.28 (m, 1H, H-4'), 4.02 (dd, *J* = 3.6 and 11.4 Hz, 1H, H-5'), 3.84 (dd, *J* = 3.3 and 11.4 Hz, 1H, H-5'), 3.64 (dd, *J* = 5.0 and 27.0 Hz, 1H, H-3'), 2.50 (d, *J* = 6.7 Hz, 2H, NCH_2), 1.89 (m, 1H, CH), 1.11 (s, 9H, *t*-Bu), 0.92 (dd, *J* = 2.5 and 6.6 Hz, 6H, $2CH_3$). ^{13}C NMR ($CDCl_3$) δ 157.9, 157.4, 149.5, 142.9, 138.2, 136.1, 136.0, 133.3, 130.5, 128.3, 126.6, 126.0, 95.1, 91.4, 85.7, 85.4, 79.4, 73.2, 72.7, 66.7, 64.2, 27.4, 26.6, 21.2, 19.8.

[5-*O*-*tert*-Butyldiphenylsilyl-2,3-dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-*iso*-butylamino)-α-D-arabinofuranosyl]-5-fluorouracil (174, B = 5-fluorouracil): This compound is prepared from the a-anomer of **172 (B = 5-fluorouracil)** as a white solid (83% for 2 steps): ^{1}H NMR ($CDCl_3$) δ 10.46 (bs, 1H, NH), 7.55 (m, 11H, arom. and H-6), 6.62 (bs, 1H, OH), 6.00 (d, *J* = 14.6 Hz, 1H, H-1'), 5.62 (d, *J* = 49.1 Hz, 1H, H-2'), 4.49 (m, 1H, H-4'), 3.84 (m, 2H, H-5'), 3.64 (m, 1H, H-3'), 2.51 (d, *J* = 6.4 Hz, 2H, NCH_2), 1.95 (m, 1H, CH), 1.10 (s, 9H, *t*-Bu), 0.90 (dd, *J* = 2.3 and 6.6 Hz, 6H, $2CH_3$). ^{13}C NMR ($CDCl_3$) δ 158.5, 158.1, 149.2, 142.5, 137.8, 136.1, 133.4, 130.4, 128.3, 126.5, 125.8, 99.5, 95.9, 92.6, 91.8, 84.9, 74.1, 73.6, 67.5, 65.1, 27.4, 26.4, 21.3, 19.8.

In addition, 5'-*O*-*tert*-butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxylamino)-D-arabinofuranosyl nucleosides (**172**) are converted into the corresponding 5'-*O*-*tert*-butyldiphenylsilyl-2',3'-dideoxy-2'-fluoro-3'-(*N*-hydroxyl-*N*-substituted amino)-D-arabinofuranosyl nucleosides (**174**) using the following aldehydes: *n*-valeraldehyde, caproaldehyde, heptaldehyde, *n*-caprylaldehyde, *n*-pelargonaldehyde, palmitaldehyde, stearaldehyde, phenylacetaldehyde, benzaldehyde, *o*-tolualdehyde, *m*-tolualdehyde, *p*-tolualdehyde, salicylaldehyde, *p*-hydroxybenzaldehyde, anisaldehyde, vanillin, piperonal, geranial and cinnamaldehyde.

EXAMPLE 12

2',3'-Dideoxy-2'-β-fluoro-3'-(*N*-hydroxy-*N*-substituted amino)-D-arabinofuranosyl nucleosides (175): To a solution of protected nucleosides **174** in dry THF is added a solution of TBAF (1.2 eq) in THF. After stirring at room temperature for 1 hour,

the resulting mixture is directly transferred onto a silica gel column for purification without evaporation.

1-[2,3-Dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-butylamino)-β-D-arabinofuranosyl]uracil (175, B = uracil, $R^{3'}$ = *n*-C_3H_7): This compound is prepared from the corresponding **174** as a white solid (93%): ^{1}H NMR (CD_3OD) δ 7.86 (dd, *J* = 2.0 and 8.1 Hz, 1H, H-6), 6.06 (dd, J = 3.7 and 18.7 Hz, 1H, H-1'), 5.69 (d, J = 8.1 Hz, 1H, H-5), 5.43 (ddd, J = 1.5, 3.7 and 53.1 Hz, 1H, H-2'), 4.19 (m, 1H, H-4'), 3.90 (dd, J = 2.9 and 12.4 Hz, 1H, H-5'), 3.75 (dd, J = 4.72 and 12.4 Hz, 1H, H-5'), 3.52 (ddd, J = 1.5, 6.1 and 27.5 Hz, 1H, H-3'), 2.79 (m, 2H, NCH2), 1.48 (m, 4H, 2 CH2), 0.95 (t, J = 7.1 Hz, 3H, CH3). 13C NMR (CD3OD) (166.3, 152.2, 143.9, 143.8, 102.1, 95.4, 91.6, 86.6, 86.3, 81.3, 81.2, 74.0, 73.5, 63.3, 59.6, 31.1, 21.7, 14.8. Anal. Calcd for $C_{13}H_{20}FN_3O_5 \cdot 0.5H_2O$: C, 47.85; H, 6.49; N, 12.88. Found: C, 48.00; H, 6.62; N, 12.97.

[2,3-Dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-isobutylamino)-β-D-arabinofuranosyl]uracil (**175**, B = uracil, R3' = i-C_3H_7): This compound is prepared from the corresponding **174** as a white solid (94%): ^{1}H NMR (CD_3OD) δ 7.86 (dd, *J* = 2.0 and 8.1 Hz, 1H, H-6), 6.06 (dd, *J* = 3.7 and 18.6 Hz, 1H, H-1'), 5.69 (d, *J* = 8.1 Hz, 1H, H-5), 5.43 (ddd, *J* = 1.6, 3.8 and 53.2 Hz, 1H, H-2'), 4.18 (m, 1H, H-4'), 3.83 (ddd, *J* = 2.8, 12.2 and 29.4 Hz, 2H, H-5'), 3.48 (ddd, *J* = 1.5, 6.1 and 27.4 Hz, 1H, H-3'), 2.67 (dd, *J* = 6.2 and 12.1 Hz, 1H, NCH_2), 2.46 (dd, *J* = 7.6 and 12.2 Hz, 1H, NCH_2), 1.90 (m, 1H, CH), 0.95 (m, 6H, 2 CH_3). ^{13}C NMR (CD_3OD) δ 166.3, 152.2, 143.8, 102.1, 95.4, 91.7, 86.6, 86.3, 81.3, 74.3, 73.9, 67.9, 63.4, 27.7. 21.5, 21.4. Anal. Calcd for $C_{13}H_{20}FN_3O_5 \cdot 0.2H_2O$: C, 48.65; H, 6.41; N, 13.09. Found: C, 48.61; H, 6.65; N, 12.93.

1-[2,3-Dideoxy-2-β-fluoro-3-(*N*-hydroxy-*N*-cyclohexanemethylamino)-β-D-arabinofuranosyl]uracil (175, B = uracil, $R^{3'}$ = cyclohexyl): This compound is prepared from the corresponding **174** as a white solid (85%): ^{1}H NMR (CD_3OD) δ 7.86 (dd, *J* = 2.0 and 8.1 Hz, 1H, H-6), 6.05 (dd, *J* = 3.8 and 18.6 Hz, 1H, H-1'), 5.68 (d, *J* = 8.1 Hz, 1H, H-5), 5.41 (ddd, *J* = 1.6, 3.8 and 53.2 Hz, 1H, H-2'), 4.17 (m, 1H, H-4'), 3.90 (dd, *J* = 3.2 and 12.4 Hz, 1H, H-5'), 3.74 (dd, *J* = 4.6 and 12.2 Hz, 1H, H-5'), 3.46 (ddd, *J* = 1.7, 6.3 and 27.4 Hz, 1H, H-3'), 2.68 (dd, *J* = 5.6 and 12.1 Hz, 1H, NCH_2), 2.51 (dd, *J* = 7.4 and 12.5 Hz, 1H, NCH_2), 1.98-0.81 (m, 11H, CH, 5 CH_2). ^{13}C NMR (CD_3OD) δ 166.3, 152.2, 143.8, 102.0, 95.4, 91.7, 86.6, 86.3, 81.4, 81.3, 74.4, 73.9, 66.7, 63.4, 37.2, 33.0, 28.3, 27.6. Anal. Calcd for $C_{16}H_{24}FN_3O_5$: C, 53.77; H, 6.77; N, 11.76. Found: C, 53.57; H, 6.75; N, 12.06.

1-[2,3-dideoxy-2-fluoro-3-(*N*-hydroxy-*N*-*iso*-butylamino)-β-D-arabinofuranosyl]-5-fluoro-uracil (175, B = 5-fluorouracil, $R^{3'}$ = *i*-C_3H_7): This compound is prepared from corresponding **174** as a white solid (76%): ^{1}H NMR (CD_3OD) δ 8.08 (dd, *J* = 1.8 and 6.8 Hz, 1H, H-6), 6.04 (ddd, *J* = 1.7, 3.9 and 18.0 Hz, 1H, H-1'), 5.44 (ddd, *J* = 1.7, 3.7 and 53.1 Hz, 1H, H-2'), 4.18 (m, 1H, H-4'), 3.92 (dd, *J* = 3.6 and 12.6 Hz, 1H, H-5'), 3.75 (dd, *J* = 4.4 and 12.4 Hz, 1H, H-5'), 3.51 (ddd, *J* = 1.7, 6.2 and 27.4 Hz, 1H, H-3'), 2.67 (dd, *J* = 6.2 and 12.8 Hz, 1H, NCH_2), 2.46 (dd, *J* = 7.7 and 12.4 Hz, 1H, NCH_2), 1.89 (m, 1H, CH), 0.97 (d, *J* = 2.2 Hz, 3H, CH_3), 0.94 (d, *J* = 2.2 Hz, 3H, CH_3). ^{13}C NMR (CD_3OD) δ 159.8, 150.8, 143.8, 139.2, 128.1, 127.4, 95.4, 91.6, 86.6, 86.3, 81.5, 81.4, 74.0, 73.6, 67.9, 63.1, 27.7, 21.4, 21.3. Anal. Calcd for $C_{13}H_{19}F_2N_3O_5 \cdot 0.5\ H_2O$: C, 45.48; H, 5.87; N, 12.24. Found: C, 45.60; H, 5.55; N, 12.17.

1-[2,3-Dideoxy-2-β-fluoro-3-(*N*-hydroxy-*N*-*iso*-butylamino)-α-D-arabinofuranosyl]-5-fluoro-uracil (175, a-anomer, B = 5-fluorouracil, $R^{3'}$ = *i*-C_3H_7): This compound is prepared from corresponding a-anomer of **174** as a white solid (70%): ^{1}H NMR (CD_3OD) δ 7.89 (d, *J* = 6.7 Hz, 1H, H-6), 6.09 (d, *J* = 15.6 Hz, 1H, H-1'), 5.45 (dt, *J* = 1.9 and 50.5 Hz, 1H, H-2'), 4.54 (m, 1H, H-4'), 3.74 (m, 2H, H-5'), 3.44 (ddd, *J* = 2.0, 4.6 and 24.6 Hz, 1H, H-3'), 2.57 (dd, *J* = 6.2 and 12.5 Hz, 1H, *N*CH_2), 2.46 (dd, *J* = 7.5 and 12.4 Hz, 1H, NCH_2), 1.93 (m, 1H, CH), 0.93 (dd, *J* = 4.6 and 6.6 Hz, 6H, 2 CH_3). ^{13}C NMR (CD_3OD) δ 160.0, 159.5, 151.0, 144.2, 139.5, 127.0, 126.3, 100.5, 96.9, 93.0, 92.2, 86.3, 86.2, 75.2, 74.7, 68.1, 64.2, 27.6, 21.4, 21.3. Anal. Calcd for $C_{13}H_{19}F_2N_3O_5 \cdot 0.4\ H_2O$: C, 45.59; H, 5.83; N, 12.27. Found: C, 45.85; H, 5.59; N, 12.58.

By using the same procedure but from the corresponding 5'-O-(tert-butyldiphenylsilyl) nucleosides, the following compounds are synthesized:

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]thymine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-(E-2-bromo-vinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-β-D-arabinofuranosyl]-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-β-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-β-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-β-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-β-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-β-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-β-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-β-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-β-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-β-D-arabinofuranosyl]-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-β-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]thymine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-fluorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl}-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino]-α-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hyrdoxy-*N*-pentylamino]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-pentylamino)-α-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]thymine ,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-β-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-β-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-β-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-β-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-β-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-β-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-β-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-β-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-β-D-arabinofuranosyl]-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-thymine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-fluorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-β-D-arabinofuranosyl]-5-n-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-hexylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl}-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-α-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexylamino)-α-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-β-D-arabinofuranosyl]thymine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-β-D-arabinofuranosyl]uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-β-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-β-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-β-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-5-n-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-5-i-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino]-β-D-arabinofuranosyl]-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino]-β-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino]-β-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(N-hydroxy-N-heptylamino]-β-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino]-β-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino]-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino]-β-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino]-β-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino]-β-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino]-β-D-arabinofuranosyl]-5-aminocarbonyl-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino]-β-D-arabinofuranosyl]-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-β-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-β-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]thymine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-fluorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyl-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino]-α-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-heptylamino)-α-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]thymine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-(E-2-bromo-vinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-β-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-β-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-β-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-β-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-β-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-β-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-β-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-β-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-β-D-arabinofuranosyl]-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-β-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]thymine ,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-fluorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl}-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-α-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octylamino)-α-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]thymine ,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-β-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-β-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-β-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-β-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-β-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-β-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-β-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-β-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-β-D-arabinofuranosyl]-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-β-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]thymine ,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-fluorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyl-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-aminocarbonyl-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl}-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyl-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-α-D-arabinofuranosyl]-5-aminocarbonyl-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-nonylamino)-α-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]thymine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hrxadecanylamino)-β-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-(E-2-bromo-vinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-aminocarbonyl-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-β-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-β-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-β-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-β-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-β-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-β-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-β-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-β-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-β-D-arabinofuranosyl]-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-β-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]thymine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-*i*-propyluracil

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-benzyluracil

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-α-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-α-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-α-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-α-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-α-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-α-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-α-D-arabinofuranosyl]-5-ethoxycarbonyl-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-α-D-arabinofuranosyl]-5-aminocarbonyl-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino]-α-D-arabinofuranosyl]-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-hexadecanylamino)-α-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]thymine ,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-(E-2-bromo-vinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonyl-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-aminocarbonyl-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-β-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-β-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-β-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-β-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-β-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-β-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-β-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-β-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-β-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-β-D-arabinofuranosyl]-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-β-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]thymine ,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-*i*-propyluracil

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-(E-2-bromo-vinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-ethoxycarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-aminocarbonyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-α-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-α-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-α-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-α-D-arabinofuranosyl]-5-*i*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-α-D-arabinofuranosyl]-5-(E-2-bromovinyl)cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-α-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-α-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-α-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-α-D-arabinofuranosyl]-5-aminocarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino]-α-D-arabinofuranosyl]-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-octadecanylamino)-α-D-arabinofuranosyl]-5-benzylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]thymine ,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-chlorouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-bromouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-iodouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-ethyluracil

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-(E-2-bromo-vinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-carboxyuracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonyl-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-aminocarbonyl-uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-cyanouracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-phenyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-benzyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-fluorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino]-β-D-arabinofuranosyl]-5-bromocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-iodocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-ethylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-*n*-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-i-propylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-[E-(2-bromovinyl)]cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-vinylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-carboxycytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-ethoxycarbonylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-aminocarbonyl-cytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-ethyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-*n*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-*i*-propyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-(E-2-bromo-vinyl)uracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-phenethylamino)-β-D-arabinofuranosyl]-5-vinyluracil,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-benzylamino]-α-D-arabinofuranosyl]-5-cyanocytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-benzylamino)-α-D-arabinofuranosyl]-5-phenylcytosine,

1-[2',3'-Dideoxy-2'-fluoro-3'-(*N*-hydroxy-*N*-benzylamino)-α-D-arabinofuranosyl]-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(o-methylbenzylamino)]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]β-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-β-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*m*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-n-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-i-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*o*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-β-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxylbenzylamino)]-α-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methylbenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[N-hydroxy-N-(p-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[N-hydroxy-N-(p-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[N-hydroxy-N-(p-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[N-hydroxy-N-(p-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-hydroxybenzylamino)]-α-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-Darabinofuranosyl}-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxylbenzylamino)]-α-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(*p*-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-β-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(4-hydroxy-3-methoxybenzylamino)]-α-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-benzyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-β-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}thymine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-chlorouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-bromouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-iodouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-ethyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromo-vinyl)uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-vinyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-carboxyuracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonyl-uracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-cyanouracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-phenyluracil,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-benzyluracil,

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1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl]-5-fluorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-cyanocytosine.

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-chlorocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-bromocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-iodocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-ethylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-*n*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-*i*-propylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-benzylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-(E-2-bromovinyl)cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-vinylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-carboxycytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-ethoxycarbonyl-cytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-aminocarbonylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-cyanocytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-phenylcytosine,

1-{2',3'-Dideoxy-2'-fluoro-3'-[*N*-hydroxy-*N*-(3,4-methylidenebenzylamino)]-α-D-arabinofuranosyl}-5-benzylcytosine.

Biological Data

Methodology: The methodologies for identification of the antiviral efficacy of the compounds are standard protocols that are practiced by those skilled in the art. A brief summary of the procedures and the system is outlined below.

System: The most widely used system for the study of anti-HBV agents is the hepatoma cell culture system with the HBV genome. These cells are designated as the 2.2.15 cells, AD38 cells and AD79 cells. The 2.2.15 cells were generated by the transfection of the human

hepatoma cells - HepG2 with HBV DNA. (Sells, et al., Proc. Natl. Acad. Sci. USA 84:1005-1009, 1987) HBV viral replication takes place in these cells. The HBV replication can be monitored intracellularly as well as extracellularly in these cells.

Assay for Anti-HBV agents: 2.215 cells are grown in multi-well dishes in RPMI medium supplemented with 4% fetal bovine serum. After 3 to 4 days of growing the cells, they are treated with varying concentrations of the test compound(s). The test compounds are dissolved in DMSO or sterile water. Cultures without the addition of the test compounds serve as controls. The addition of the test compound(s) is repeated at 3-day intervals. The medium is changed and replaced with appropriate concentration of the test compound. At the end of the 9-day treatment period, the culture medium is harvested and processed for viral DNA. The viral DNA thus obtained is analyzed by Southern blot analysis. After the Southern transfer, the blot is subjected to hybridization to an HBV specific probe to detect HBV DNA. The hybriodization is carried out overnight. After the hybridization, free probe is removed by washing of the blots. The specific hybridization is visualized by autoradiography. Inhibition produced by the test compound is calculated with reference to the levels of HBV DNA in the control samples.

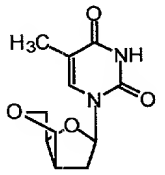
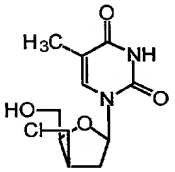
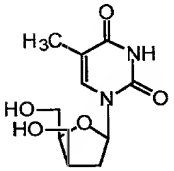
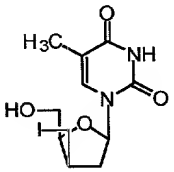
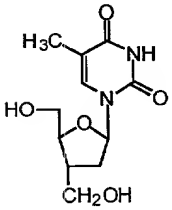
Results of Biological Testing for anti-HBV, anti-HIV or cytotoxicity Activities

Of the various entities evaluated for antiviral activity and cytotoxicity, a number of molecules showed potent antiviral activity with minimal cytotoxicity. In the series 1, compound **20** exhibited moderate activity. In the series 2, compounds **7**, **10**, **20**, **58**, **59** and **70** were found to be potent inhibitors of HBV and HIV replications. Compounds **11**, **12** and **71** had moderate activities. The results are presented below.

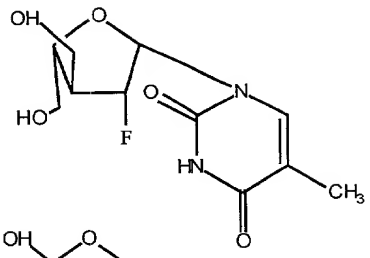
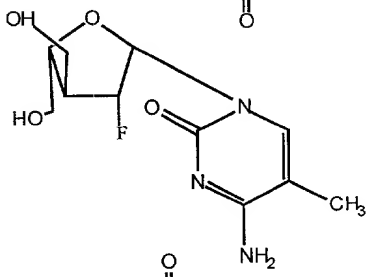
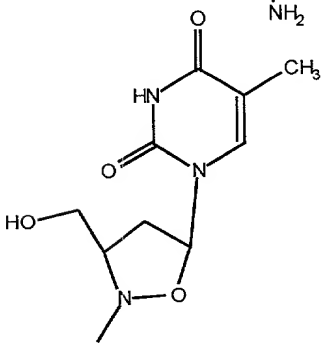
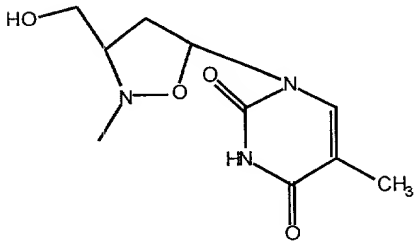
Relative anti-HBV and HIV-1 activity and toxicity of 3'-modified nucleosides						
	Anti-HBV	Anti-HIV	Cytotoxicity (IC_{50}, μM)			
Compound	EC_{50} (μM)	EC_{50} (μM)	PBM	CEM	Vero	HepG2
Series 1						
1	>10	>100	>100	ND#	>100	ND
2	>10	3.3	>100	ND	4.6	ND
3	>10	>100	>100	ND	>100	ND
4	>10	87.7	>100	ND	>100	ND

5	>10	>100	>100	ND	>100	ND
20	0.23	0.8	>100	>100	>100	ND
Series 2						
1	>10	>100	>100	ND	ND	ND
2	>10	>100	>100	ND	>100	ND
5	>10	>100	>100	ND	ND	ND
6	>10	>100	>100	>100	>100	ND
7	0.04	2.6	48.8	5.1	56.0	>100
10	0.13	3.3	>100	>100	>100	>100
11	<10	112	>100	>100	>100	ND
12	<10	>100	>100	>100	>100	ND
13	>10	>100	>100	>100	>100	ND
20	>10	>100	>100	>100	>100	ND
21	>10	>100	>100	>100	>100	ND
22	>10	>100	>100	>100	>100	ND
23	1.04	95.4	>100	>100	>100	>100
24	>10	>100	>100	>100	>100	ND
28	>10	>100	>100	>100	>100	ND
33	>10	>100	>100	>100	>100	ND
39	>10	>100	>100	>100	>100	ND
40	>10	>100	>100	>100	>100	ND
55	>10	68	>100	>100	>100	ND
58	0.65	0.09	7.4	40.2	~106	>100
59	≤10	0.49	>100	65.3	>100	>100
60	>10	>100	>100	>100	>100	ND
61	>10	52.3	>100	>100	>100	ND
68	>10	>100	>100	>100	>100	ND
69	>10	>100	>100	>100	>100	ND
70	≤10	2.4	>100	109	>100	ND
71	<10	81.4	13	30.1	68.5	ND
#ND = not determined						

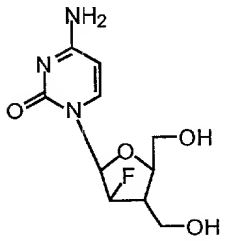
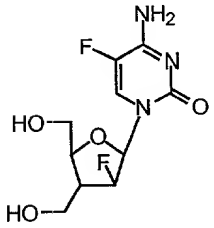
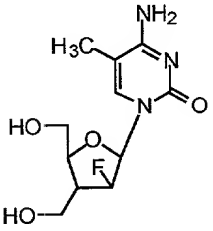
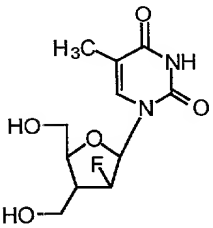
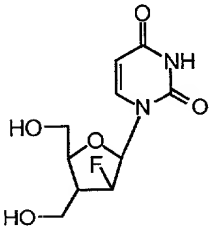
Series 1

Compound No.	Structure
1	
3	
4	
5	
20	

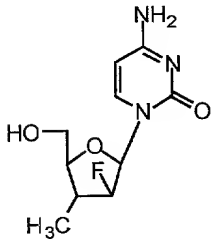
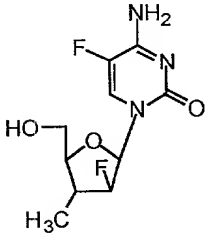
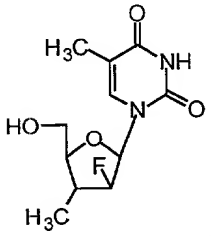
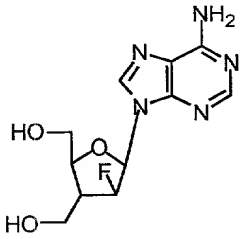
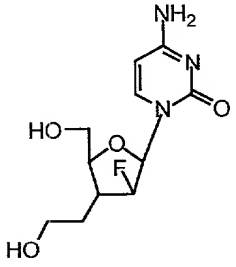
Series 2

Compound No.	Structure	
1		β-L
2		β-L
5		
6		

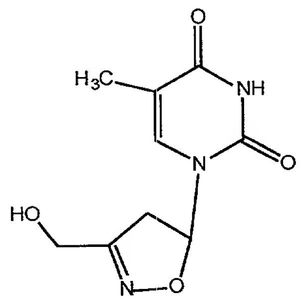
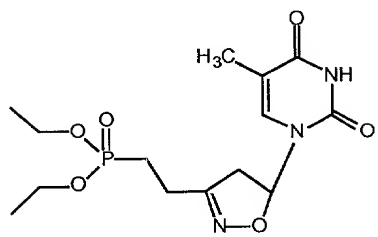
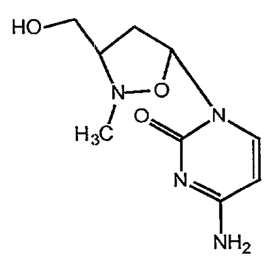
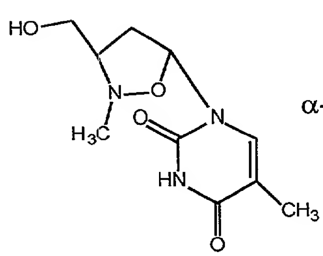
Series 2

Compound No.	Structure	
7		β-L
10		β-D
11		β-D
12		β-D
13		β-D

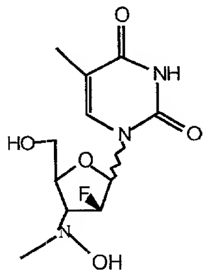
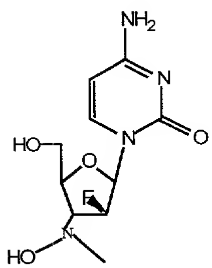
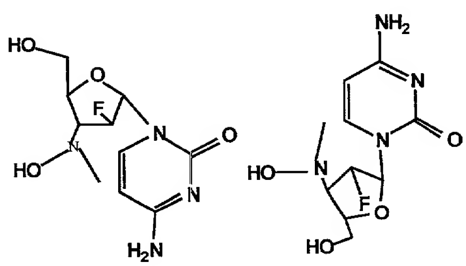
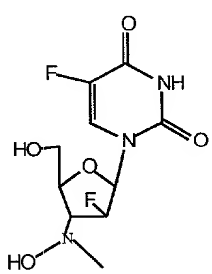
Series 2

Compound No.	Structure	
20		β-D
21		β-D
22		β-D
23		β-D
24		β-D

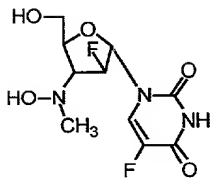
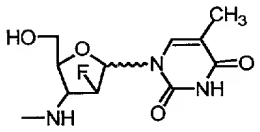
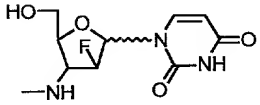
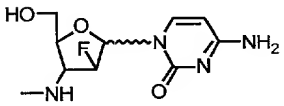
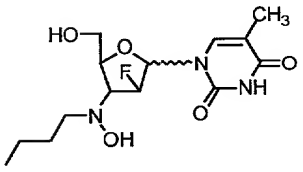
Series 2

Compound No.	Structure	
28		
33		
39		α-D
40		α-D

Series 2

Compound No.	Structure	
55		β +α-**D**
58		β -**D**
59		α-**D**
60		β -**D**

Series 2

Compound No.	Structure	
61		α–D
68		(α+β)–D
69		(α+β)–D
70		(α+β)–D
71		(α+β)–D

While the invention has been disclosed in its preferred forms, it will be apparent to those of ordinary skill in the art that many modification, additions, and deletions can be made therein without departing from the spirit and scope of the invention and its equivalents as set forth in the following claims.